10521175x.trn

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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 3	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 4	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 5	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 7	AUG 27	USPATOLD now available on STN
NEWS 8	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 9	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 10	SEP 13	FORIS renamed to SOFIS
NEWS 11	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 12	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 13	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 14	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 16	OCT 19	BEILSTEIN updated with new compounds
NEWS 17	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 18	NOV 19	WPIX enhanced with XML display format
NEWS 19	NOV 30	ICSD reloaded with enhancements
NEWS 20	DEC 04	LINPADOCDB now available on STN
NEWS 21	DEC 14	BEILSTEIN pricing structure to change
NEWS 22	DEC 17	USPATOLD added to additional database clusters
NEWS 23	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 24	DEC 17	DGENE now includes more than 10 million sequences
NEWS 25	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 26	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 28	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

```
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:05:03 ON 28 DEC 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 10:05:14 ON 28 DEC 2007
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STRUCTURE FILE UPDATES:   27 DEC 2007  HIGHEST RN 959655-61-9
DICTIONARY FILE UPDATES:  27 DEC 2007  HIGHEST RN 959655-61-9

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
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experimental property data in the original document.  For information
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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10521175x.str
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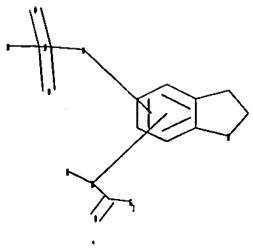
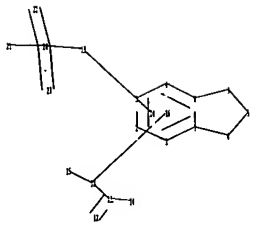




chain nodes :
10 11 12 14 15 19 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
10-11 10-15 11-12 11-14 19-20 20-21 20-22 20-23
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 10-11 11-12 11-14 19-20 20-21 20-22 20-23
exact bonds :
10-15
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

G1:Cb,Cy,Ak,Ph

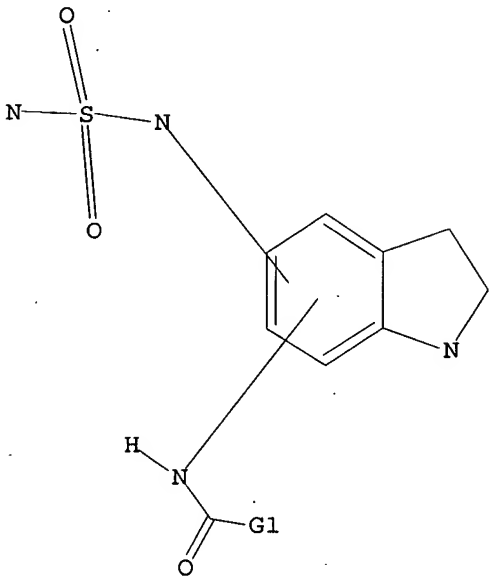
G2:MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:Atom

10521175x.trn

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Ak,Ph
G2 MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:05:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 414 TO ITERATE

100.0% PROCESSED 414 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7060 TO 9500
PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:05:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8089 TO ITERATE

100.0% PROCESSED 8089 ITERATIONS 59 ANSWERS
SEARCH TIME: 00.00.01

L3 59 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 172.10 172.31

FILE 'HCAPLUS' ENTERED AT 10:06:01 ON 28 DEC 2007
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FILE COVERS 1907 - 28 Dec 2007 VOL 148 ISS 1
FILE LAST UPDATED: 27 Dec 2007 (20071227/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 1 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:60468 HCAPLUS
DOCUMENT NUMBER: 140:111275
TITLE: Preparation of indoline derivatives as ACAT or lipid peroxidation inhibitors
INVENTOR(S): Kamiya, Shouji; Ikai, Miho; Takahashi, Kenji; Tarumi, Tadatsugu; Kasai, Masayasu; Yoshimi, Akihisa; Shirahase, Hiroaki
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

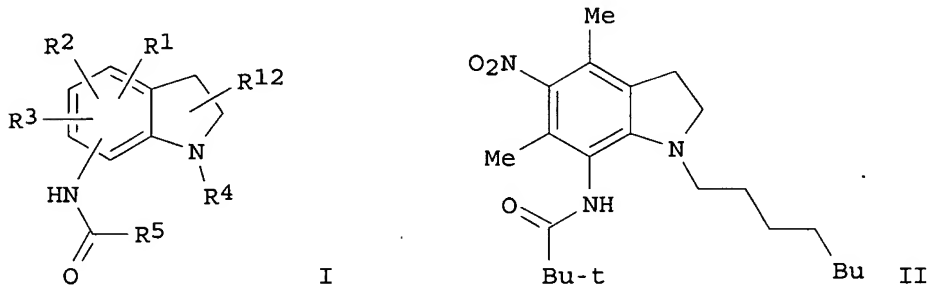
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007450	A1	20040122	WO 2003-JP9012	20030716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2492669	A1	20040122	CA 2003-2492669		20030716
AU 2003252638	A1	20040202	AU 2003-252638		20030716
BR 2003012734	A	20050426	BR 2003-12734		20030716
EP 1541553	A1	20050615	EP 2003-764206		20030716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
CN 1681781	A	20051012	CN 2003-822088		20030716
NZ 538226	A	20060831	NZ 2003-538226		20030716
MX 2005PA00749	A	20050428	MX 2005-PA749		20050117
NO 2005000834	A	20050415	NO 2005-834		20050216
IN 2005CN00201	A	20070330	IN 2005-CN201		20050216
US 2006128787	A1	20060615	US 2005-521175		20050314
PRIORITY APPLN. INFO.:			JP 2002-208878	A	20020717
			WO 2003-JP9012	W	20030716

OTHER SOURCE(S): MARPAT 140:111275

GI

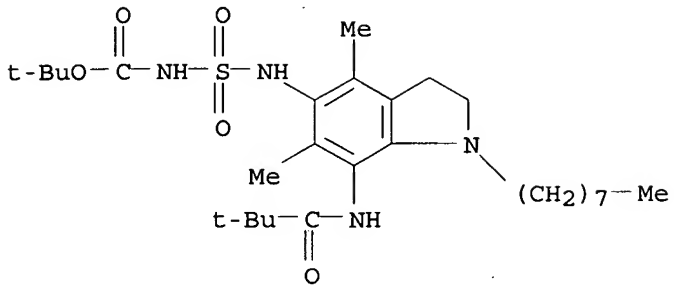


AB The title indoline compds. with general formula of I [wherein R1 and R3 = independently H, alkyl, or alkoxy; R2 = NO2, NHCONH2, (un)substituted NHSO2H, or alkyl; R4 = H, alkenyl, alkoxyalkoxy, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, (un)substituted alkyl, or COH; R5 = alkyl, cycloalkyl, or aryl; R12 = H, alkyl, alkoxyalkoxy, or alkylthioalkyl] or pharmaceutically acceptable salts thereof are prepared as acyl coA cholesterol acyltransferase (ACAT) or lipid peroxidn. inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed 71.9 to 98.1% inhibitory activity at the concentration of 1.0 μM against liver ACAT in rabbit.

IT 647008-50-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)

RN 647008-50-2 HCAPLUS

CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 647008-48-8P 647008-49-9P 647008-51-3P
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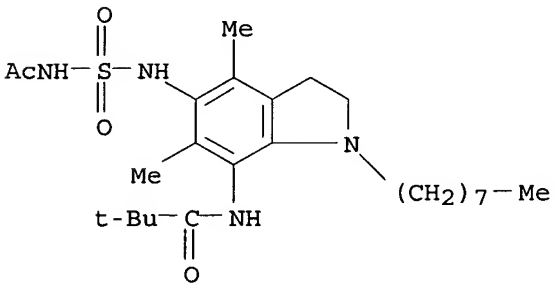
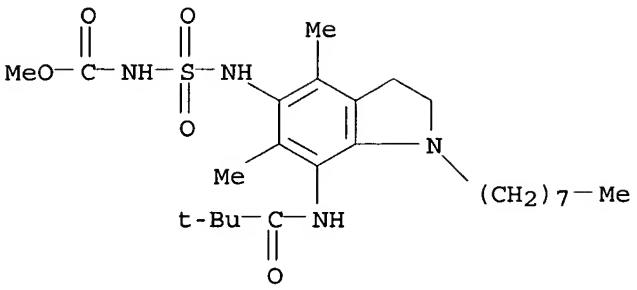
647008-67-1P 647008-68-2P 647008-73-9P
647008-90-0P 647008-91-1P 647008-93-3P
647008-94-4P 647008-97-7P 647008-98-8P
647008-99-9P 647009-00-5P 647009-01-6P
647009-02-7P 647009-03-8P 647009-04-9P
647009-05-0P 647009-06-1P 647009-07-2P
647009-08-3P 647009-09-4P 647009-10-7P
647009-89-0P 647009-90-3P 647009-91-4P
647009-92-5P 647009-93-6P 647009-94-7P
647009-96-9P 647010-03-5P 647010-05-7P
647010-07-9P 647010-09-1P 647010-11-5P
647010-13-7P 647010-15-9P 647010-17-1P
647010-19-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)

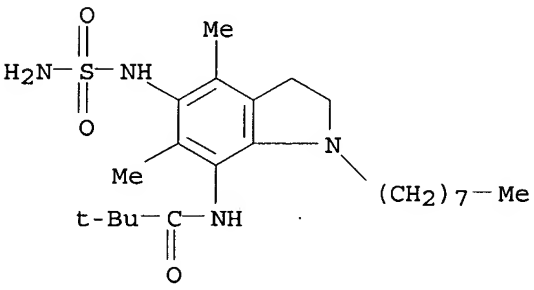
RN 647008-48-8 HCAPLUS
CN Propanamide, N-[5-[[(acetylamino)sulfonyl]amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



RN 647008-49-9 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-5-yl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

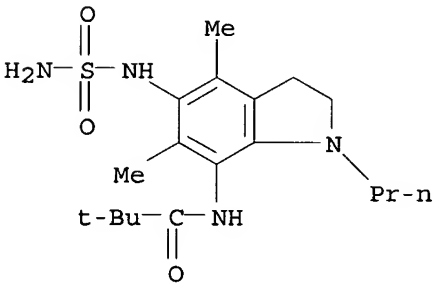


RN 647008-51-3 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



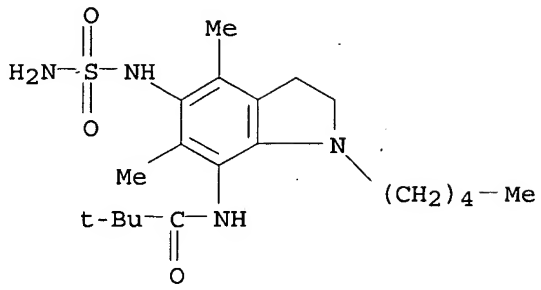
● HCl

RN 647008-64-8 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



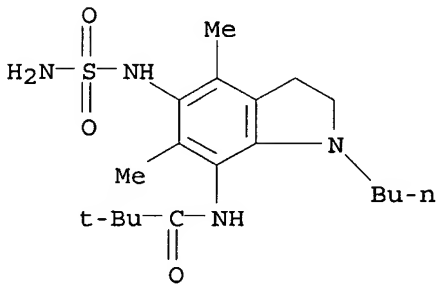
● HCl

RN 647008-65-9 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-pentyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



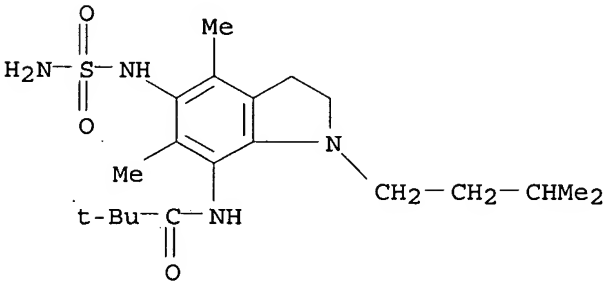
● HCl

RN 647008-66-0 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-butyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

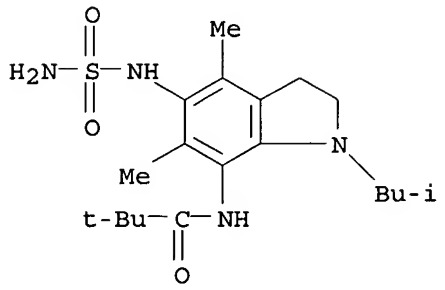
RN 647008-67-1 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methylbutyl)-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

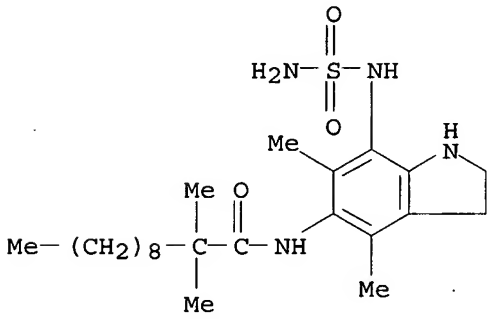
RN 647008-68-2 HCAPLUS

CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-methylpropyl)-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



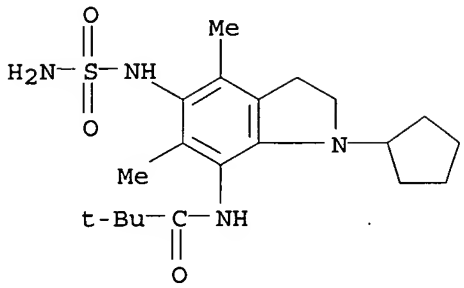
● HCl

RN 647008-73-9 HCAPLUS
CN Undecanamide, N-[7-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 647008-90-0 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-cyclopentyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 647008-91-1 HCAPLUS
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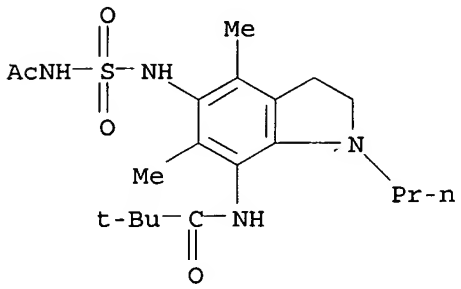
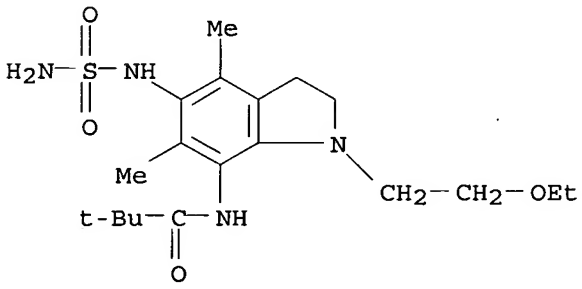
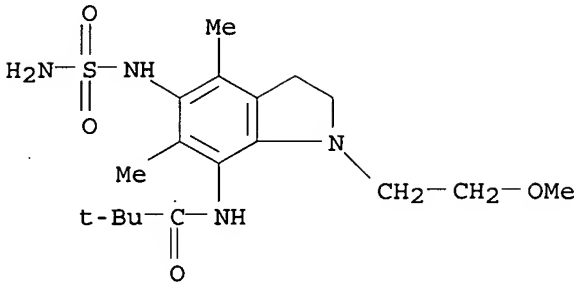


RN 647008-93-3 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



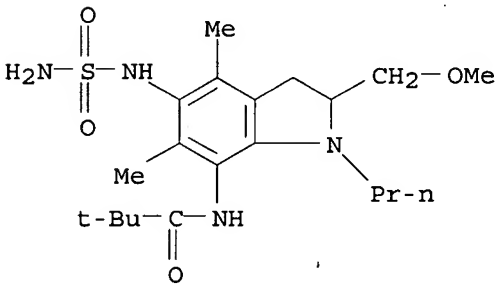
● HCl

RN 647008-94-4 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(2-methoxyethyl)-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



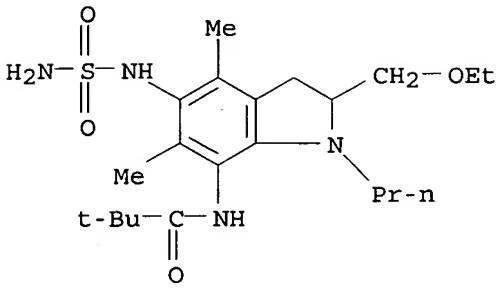
● HCl

RN 647008-97-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-2-(methoxymethyl)-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



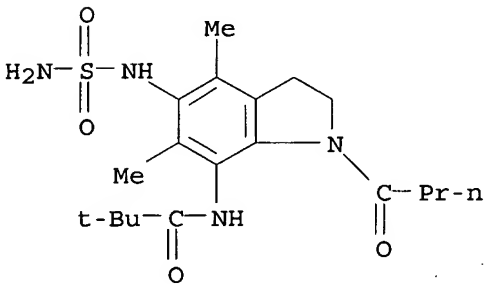
● HCl

RN 647008-98-8 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2-(ethoxymethyl)-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

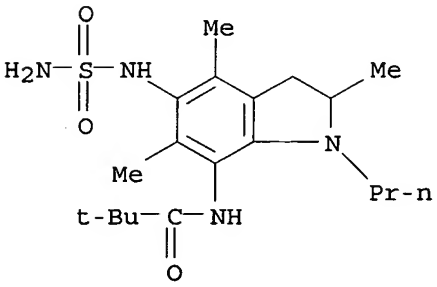


● HCl

RN 647008-99-9 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(1-oxobutyl)-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

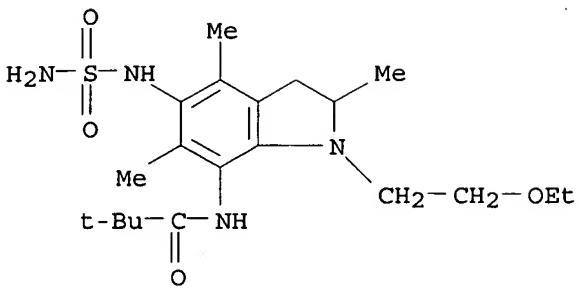


RN 647009-00-5 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-2,4,6-trimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



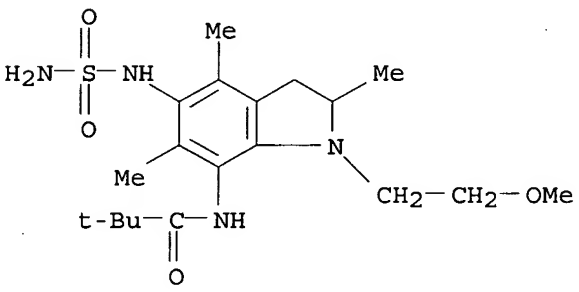
● HCl

RN 647009-01-6 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-2,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



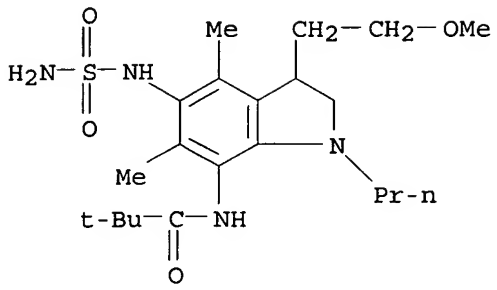
● HCl

RN 647009-02-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(2-methoxyethyl)-2,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



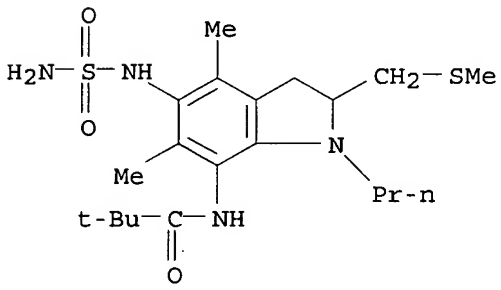
● HCl

RN 647009-03-8 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-3-(2-methoxyethyl)-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



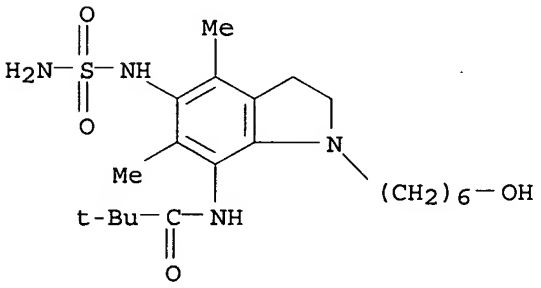
● HCl

RN 647009-04-9 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-2-[(methylthio)methyl]-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



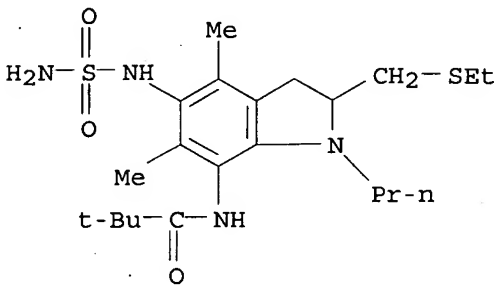
● HCl

RN 647009-05-0 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(6-hydroxyhexyl)-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



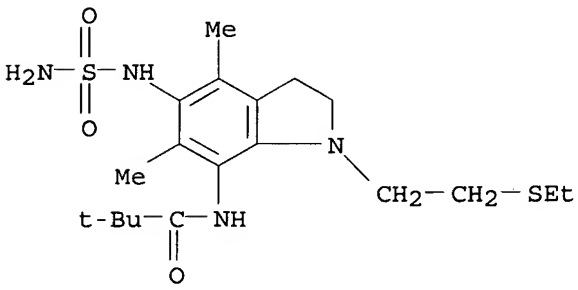
● HCl

RN 647009-06-1 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2-[(ethylthio)methyl]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



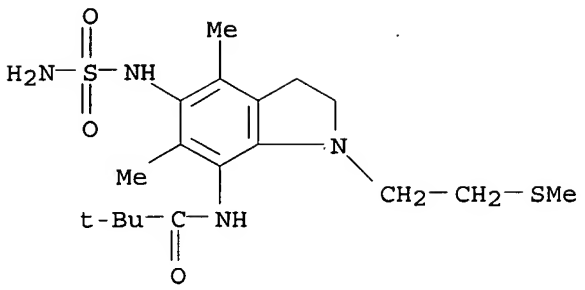
● HCl

RN 647009-07-2 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-[2-(ethylthio)ethyl]-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



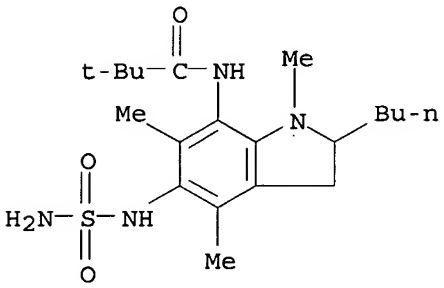
● HCl

RN 647009-08-3 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(methylthio)ethyl]-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



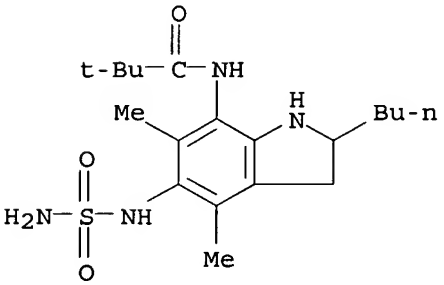
● HCl

RN 647009-09-4 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2-butyl-2,3-dihydro-1,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

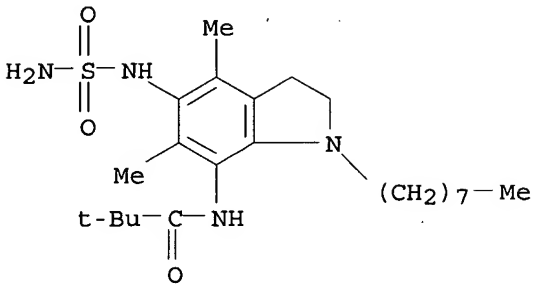


● HCl

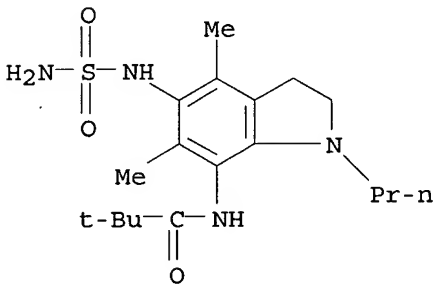
RN 647009-10-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2-butyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



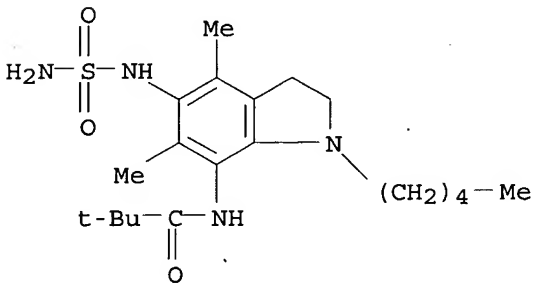
RN 647009-89-0 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



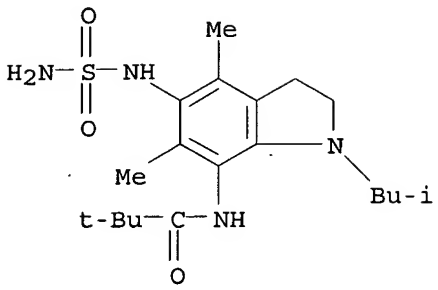
RN 647009-90-3 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



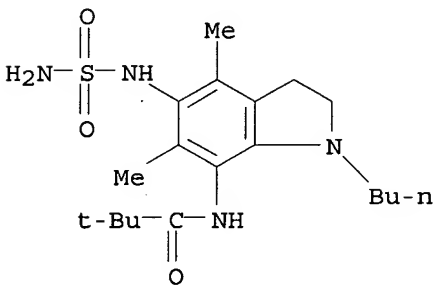
RN 647009-91-4 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-pentyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



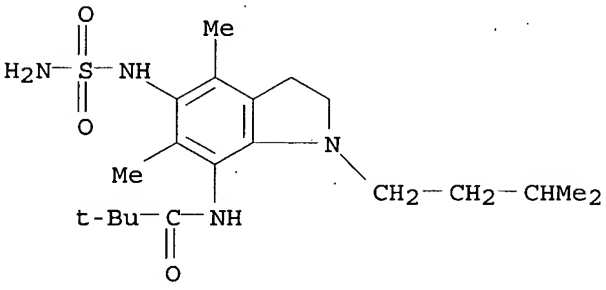
RN 647009-92-5 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(2-methylpropyl)-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



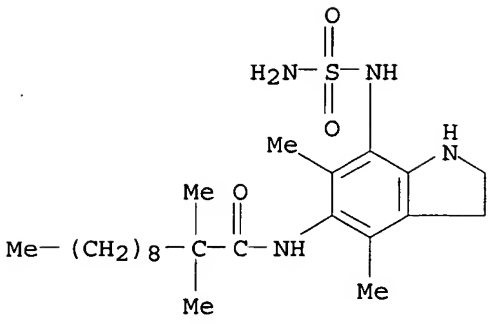
RN 647009-93-6 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-butyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



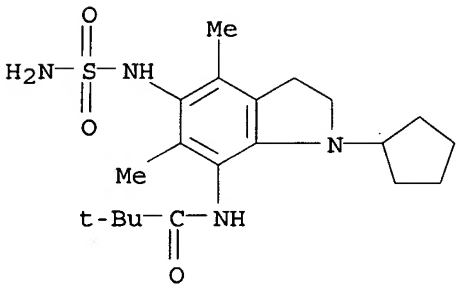
RN 647009-94-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1-(3-methylbutyl)-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



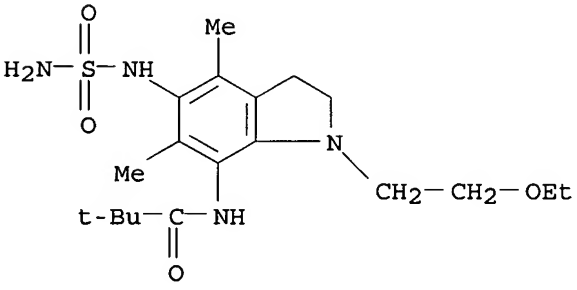
RN 647009-96-9 HCAPLUS
CN Undecanamide, N-[7-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]-2,2-dimethyl- (CA INDEX NAME)



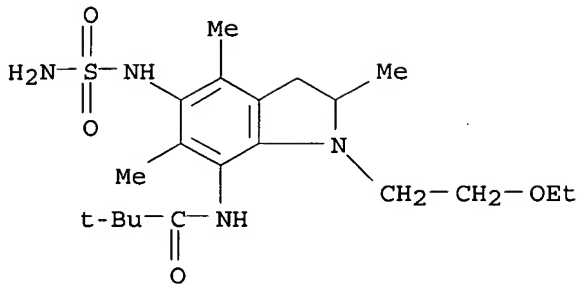
RN 647010-03-5 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-cyclopentyl-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



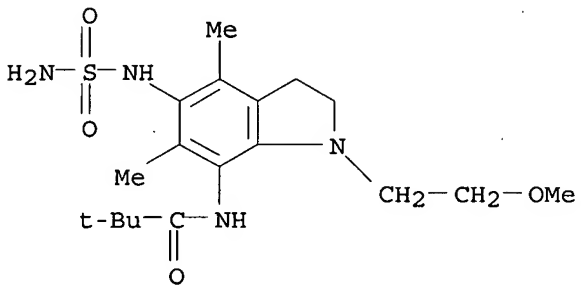
RN 647010-05-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



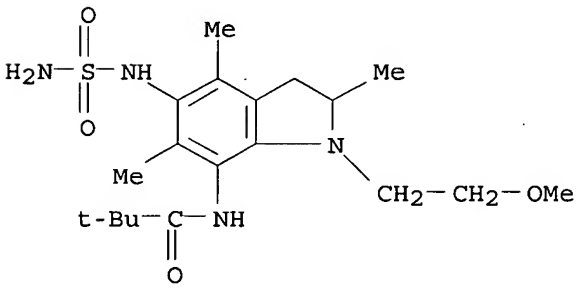
RN 647010-07-9 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-2,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



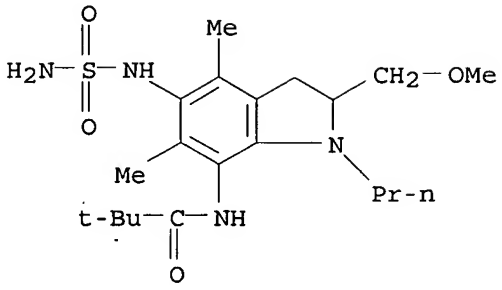
RN 647010-09-1 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(2-methoxyethyl)-4,6-dimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



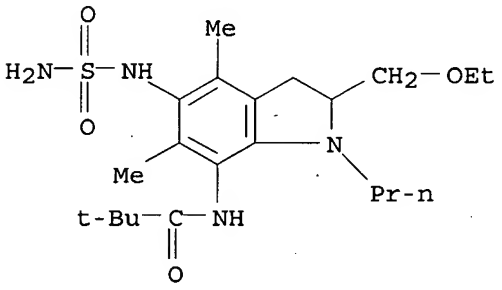
RN 647010-11-5 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-1-(2-methoxyethyl)-2,4,6-trimethyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



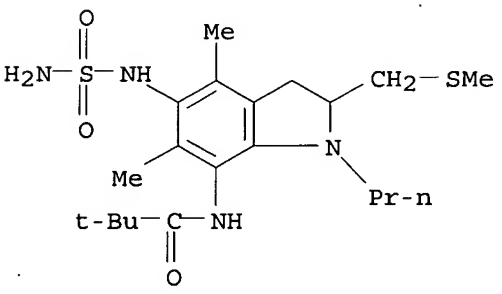
RN 647010-13-7 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-2-(methoxymethyl)-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



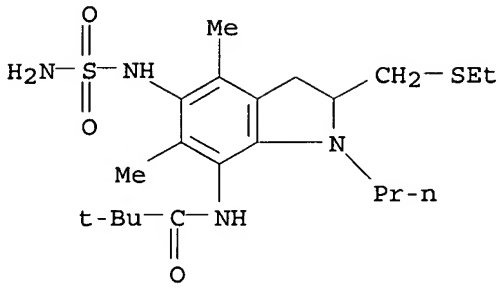
RN 647010-15-9 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2-(ethoxymethyl)-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



RN 647010-17-1 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2,3-dihydro-4,6-dimethyl-2-[(methylthio)methyl]-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

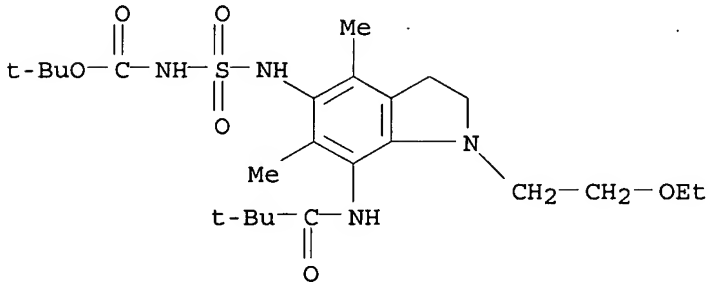


RN 647010-19-3 HCAPLUS
CN Propanamide, N-[5-[(aminosulfonyl)amino]-2-[(ethylthio)methyl]-2,3-dihydro-4,6-dimethyl-1-propyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)

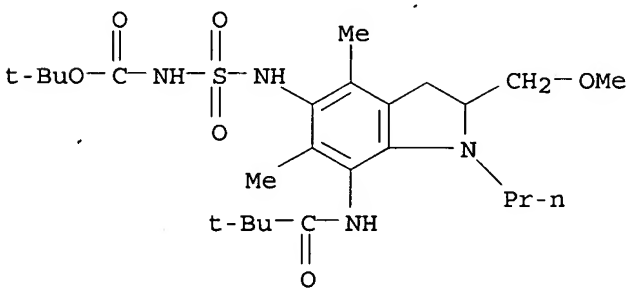


IT 647009-28-7P 647009-41-4P 647009-44-7P
647009-53-8P 647009-65-2P 647009-76-5P
647009-80-1P 647009-85-6P 647009-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)

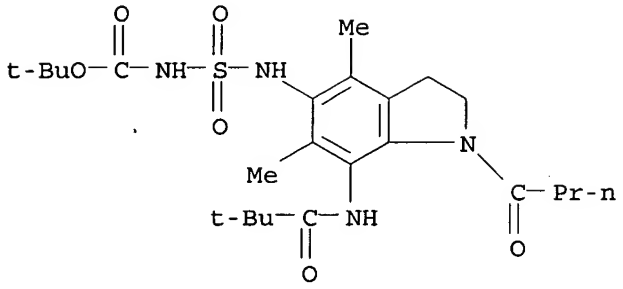
RN 647009-28-7 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-1-(2-ethoxyethyl)-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



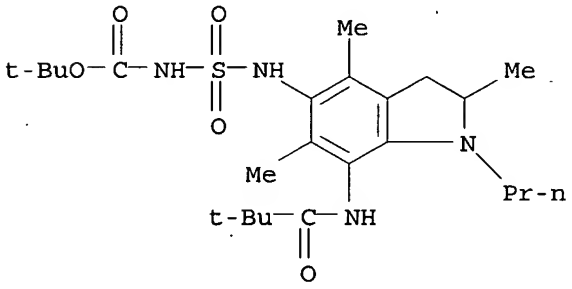
RN 647009-41-4 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2-(methoxymethyl)-4,6-dimethyl-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



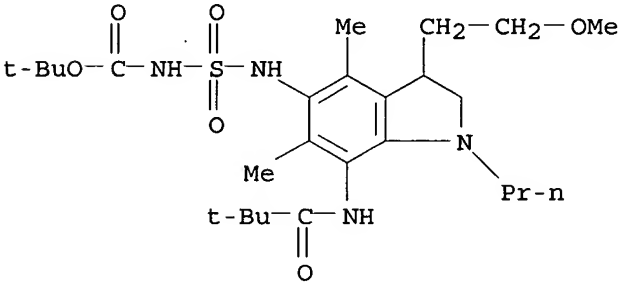
RN 647009-44-7 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-(1-oxobutyl)-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



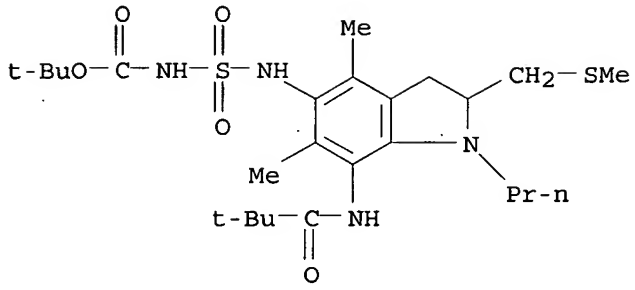
RN 647009-53-8 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2,4,6-trimethyl-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



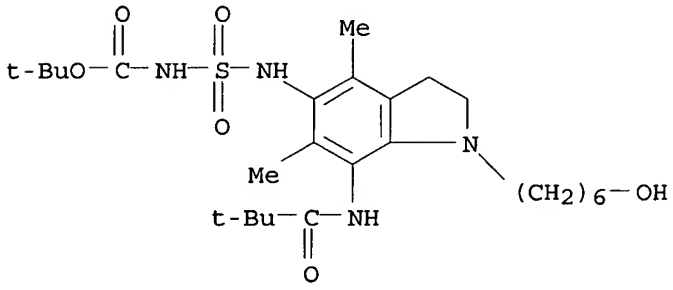
RN 647009-65-2 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-3-(2-methoxyethyl)-4,6-dimethyl-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



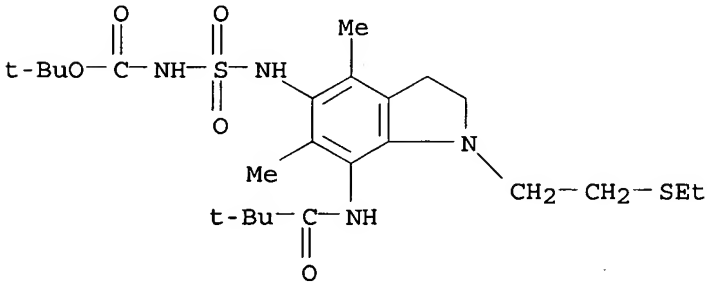
RN 647009-76-5 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-2-[(methylthio)methyl]-1-propyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



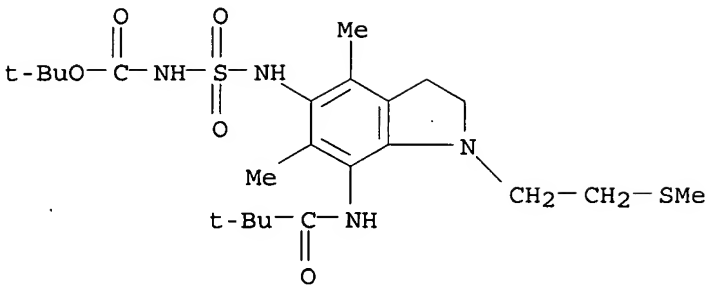
RN 647009-80-1 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-1-(6-hydroxyhexyl)-4,6-dimethyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 647009-85-6 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-1-[2-(ethylthio)ethyl]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 647009-87-8 HCAPLUS
CN Carbamic acid, [[[7-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-4,6-dimethyl-1-[2-(methylthio)ethyl]-1H-indol-5-yl]amino]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	18.27	190.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-0.78

FILE 'REGISTRY' ENTERED AT 10:09:07 ON 28 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 DEC 2007 HIGHEST RN 959655-61-9
DICTIONARY FILE UPDATES: 27 DEC 2007 HIGHEST RN 959655-61-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

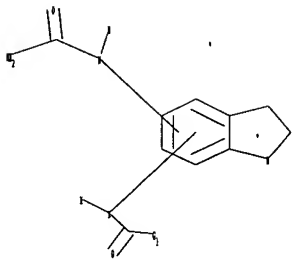
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

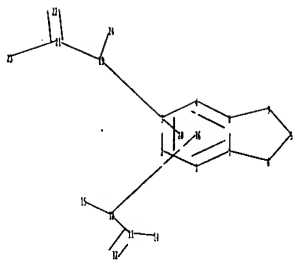
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10521175y.str





chain nodes :
10 11 12 14 15 19 21 22 23 24
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
10-11 10-15 11-12 11-14 19-21 19-24 21-22 21-23
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 10-11 11-12 11-14 19-21 21-22 21-23
exact bonds :
10-15 19-24
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

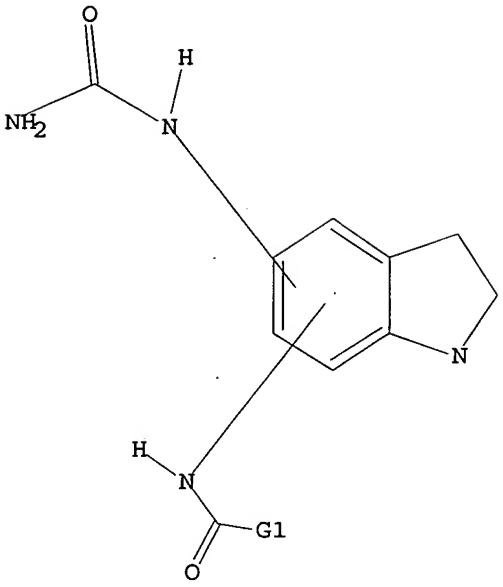
G1:Cb,Cy,Ak,Ph

G2:MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom 19:CLASS 20:Atom 21:CLASS
22:CLASS 23:CLASS 24:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 Cb,Cy,Ak,Ph

G2 MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5
SAMPLE SEARCH INITIATED 10:09:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     1302 TO ITERATE

100.0% PROCESSED     1302 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         23876 TO    28204
PROJECTED ANSWERS:                0 TO        0

L6             0 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 10:09:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    27077 TO ITERATE

100.0% PROCESSED    27077 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.02

L7             3 SEA SSS FUL L5

=>
Uploading C:\Program Files\Stnexp\Queries\10521175z.str
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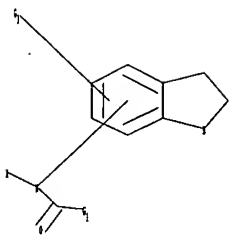
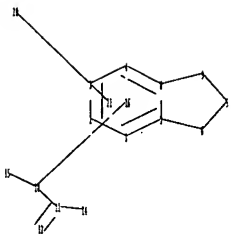




chain nodes :
10 11 12 14 15 20
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
10-11 10-15 11-12 11-14
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 5-9 6-7 8-9 10-11 11-12 11-14
exact bonds :
10-15
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

G1:Cb,Cy,Ak,Ph

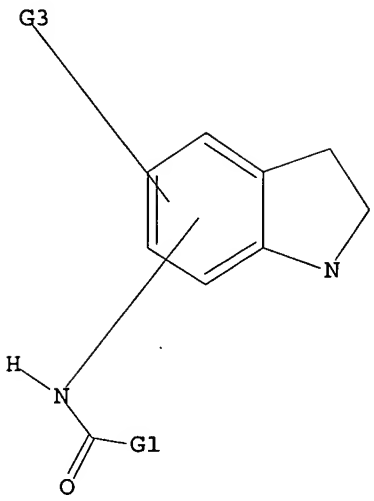
G2:MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

G3:NH2,NO2

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:Atom 20:CLASS 21:Atom

L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR



G1 Cb,Cy,Ak,Ph

G2 MeO,EtO,n-PrO,n-BuO,NH,NH2,NO2

G3 NH2,NO2

Structure attributes must be viewed using STN Express query preparation.

```
=> s l8
SAMPLE SEARCH INITIATED 10:13:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    40794 TO ITERATE

  4.9% PROCESSED     2000 ITERATIONS                              1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       803815 TO   827945
PROJECTED ANSWERS:             137 TO      677

L9            1 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 10:13:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   810722 TO ITERATE

100.0% PROCESSED   810722 ITERATIONS                          153 ANSWERS
SEARCH TIME: 00.00.16

L10         153 SEA SSS FUL L8

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              346.90     537.48

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
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CA SUBSCRIBER PRICE 0.00 -0.78

FILE 'HCAPLUS' ENTERED AT 10:13:54 ON 28 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 28 Dec 2007 VOL 148 ISS 1
FILE LAST UPDATED: 27 Dec 2007 (20071227/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:05:03 ON 28 DEC 2007)

FILE 'REGISTRY' ENTERED AT 10:05:14 ON 28 DEC 2007
L1 STRUCTURE UPLOADED
L2 4 S L1
L3 59 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:06:01 ON 28 DEC 2007
L4 1 S L3

FILE 'REGISTRY' ENTERED AT 10:09:07 ON 28 DEC 2007
L5 STRUCTURE UPLOADED
L6 0 S L5
L7 3 S L5 SSS FULL
L8 STRUCTURE UPLOADED
L9 1 S L8
L10 153 S L8 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:13:54 ON 28 DEC 2007

=> s l7
L11 1 L7

=> s l10
L12 28 L10

=> s l12 and py<=2002
22927458 PY<=2002
L13 19 L12 AND PY<=2002

=> s l13 and transferase inhibitor
57922 TRANSFERASE

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          6974 TRANSFERASES
         60102 TRANSFERASE
                 (TRANSFERASE OR TRANSFERASES)
        560557 INHIBITOR
        563027 INHIBITORS
        878998 INHIBITOR
                 (INHIBITOR OR INHIBITORS)
          1939 TRANSFERASE INHIBITOR
                 (TRANSFERASE(W)INHIBITOR)
L14          0 L13 AND TRANSFERASE INHIBITOR

=> s l13 and thu
           158 THU
       2467772 THUS
       2467912 THU
                 (THU OR THUS)
L15          6 L13 AND THU

=> d l11 ibib abs hitstr tot

L11  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2004:60468  HCAPLUS
DOCUMENT NUMBER:          140:111275
TITLE:                    Preparation of indoline derivatives as ACAT or lipid
                          peroxidation inhibitors
INVENTOR(S):              Kamiya, Shouji; Ikai, Miho; Takahashi, Kenji; Tarumi,
                          Tadatsugu; Kasai, Masayasu; Yoshimi, Akihisa;
                          Shirahase, Hiroaki
PATENT ASSIGNEE(S):       Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE:                   PCT Int. Appl., 112 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2004007450         A1    20040122    WO 2003-JP9012          20030716
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2492669            A1    20040122    CA 2003-2492669         20030716
     AU 2003252638         A1    20040202    AU 2003-252638          20030716
     BR 2003012734         A     20050426    BR 2003-12734           20030716
     EP 1541553            A1    20050615    EP 2003-764206          20030716
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     CN 1681781            A     20051012    CN 2003-822088          20030716
     NZ 538226             A     20060831    NZ 2003-538226          20030716
     MX 2005PA00749        A     20050428    MX 2005-PA749           20050117
     NO 2005000834         A     20050415    NO 2005-834             20050216
     IN 2005CN00201        A     20070330    IN 2005-CN201           20050216
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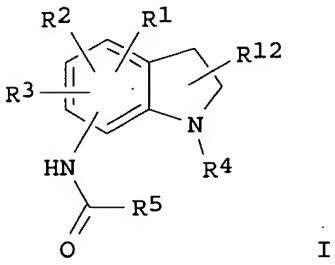
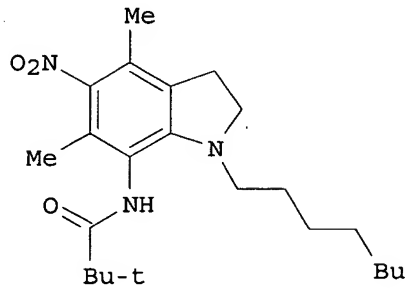
US 2006128787 A1 20060615 US 2005-521175 20050314
PRIORITY APPLN. INFO.: JP 2002-208878 A 20020717
WO 2003-JP9012 W 20030716
OTHER SOURCE(S): MARPAT 140:111275
GI



I

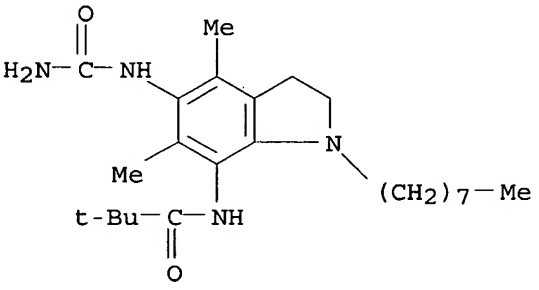


II

AB The title indoline compds. with general formula of I [wherein R1 and R3 = independently H, alkyl, or alkoxy; R2 = NO2, NHCONH2, (un)substituted NHSO2H, or alkyl; R4 = H, alkenyl, alkoxyalkoxy, alkylthioalkyl, cycloalkyl, cycloalkylalkyl, (un)substituted alkyl, or COH; R5 = alkyl, cycloalkyl, or aryl; R12 = H, alkyl, alkoxyalkoxy, or alkylthioalkyl] or pharmaceutically acceptable salts thereof are prepared as acyl coA cholesterol acyltransferase (ACAT) or lipid peroxidn. inhibitors. For example, the compound II was prepared in a multi-step synthesis. I showed 71.9 to 98.1% inhibitory activity at the concentration of 1.0 μM against liver ACAT in rabbit.

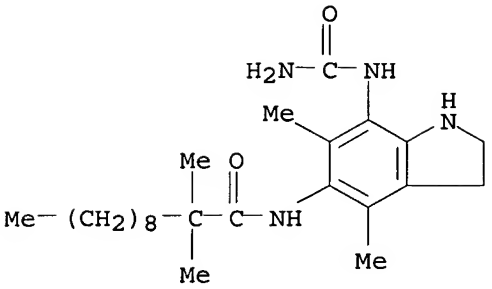
IT 647008-52-4P 647008-74-0P 647009-98-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of indoline derivs. as ACAT or lipid peroxidn. inhibitors)

RN 647008-52-4 HCAPLUS
CN Propanamide, N-[5-[(aminocarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

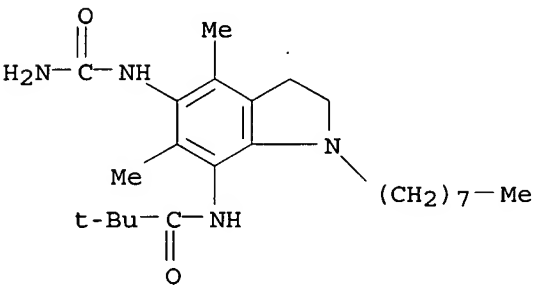


● HCl

RN 647008-74-0 HCAPLUS
CN Undecanamide, N-[7-[(aminocarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1H-indol-5-yl]-2,2-dimethyl- (CA INDEX NAME)



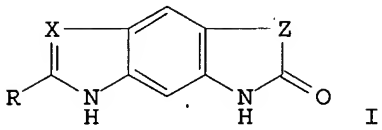
RN 647009-98-1 HCAPLUS
CN Propanamide, N-[5-[(aminocarbonyl)amino]-2,3-dihydro-4,6-dimethyl-1-octyl-1H-indol-7-yl]-2,2-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:423439 HCAPLUS
DOCUMENT NUMBER: 111:23439
TITLE: Nonsteroidal cardiotonics. 2. The inotropic activity of linear, tricyclic 5-6-5 fused heterocycles
AUTHOR(S): Von der Saal, Wolfgang; Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd
CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.
SOURCE: Journal of Medicinal Chemistry (1989), 32(7), 1481-91
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:23439
GI



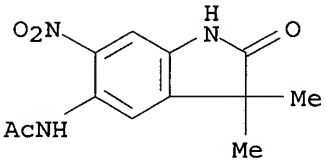
I

AB A series of linear, tricyclic fused heterocycles of the 5-6-5 type e.g. I (R = alkyl, alkenyl, (un)substituted Ph, heteroaryl; X = N, CH, O; Z = CMe2, O, S NH, alkylimino) were prepared Thus, 5,6-diamino-1,3-dihydro-3,3dimethyl-(2H)-indol-2-one was cyclized with HCO2H to give 90% I (R = H, X = N, Z = CMe2). The compds. were evaluated for pos. inotropic activity in anesthetized rats, cats, and dogs. Changes in left ventricular dP/dt were measured as an index of cardiac contractility. The increase in contractility was not mediated via stimulation of β-adrenergic receptors. The data revealed the intrinsic pos. inotropic activity of the parent compound of this series I (R = H, X = N, Z = CMe2). The structural features that impart optimal inotropic activity are presented and compared with those of the 4,5-dihydro-3(2H)-pyridazinone series. The most potent compds. were evaluated orally in conscious dogs with implant Konigsberg pressure transducers to measure ventricular pressures, and their effect on left ventricular dP/dt was compared with that of adibendan, pimobendan, and indolidan.

IT 100510-96-1 120791-36-8 120791-37-9
120791-38-0 120791-39-1 120791-40-4
120791-41-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(intramol. cyclization of, pyrrolobenzimidazole derivative from)

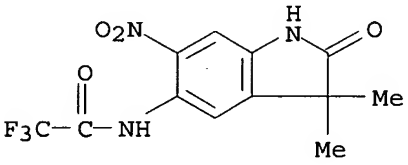
RN 100510-96-1 HCAPLUS

CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



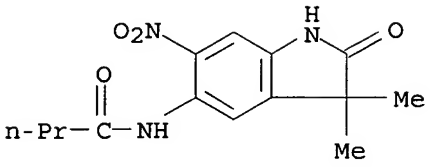
RN 120791-36-8 HCAPLUS

CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 120791-37-9 HCAPLUS

CN Butanamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



RN 120791-38-0 HCAPLUS
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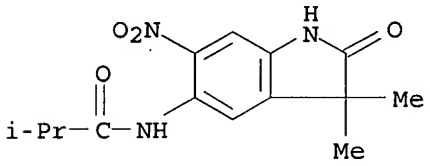
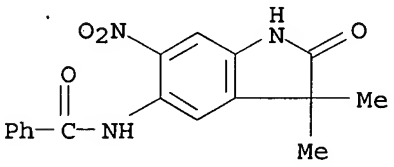
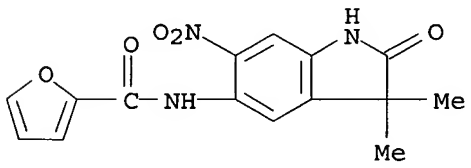


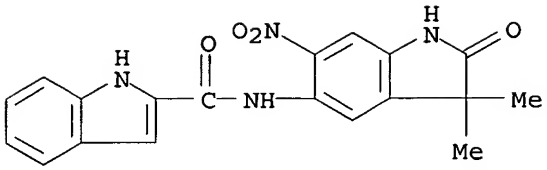
RN 120791-39-1 HCAPLUS
CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



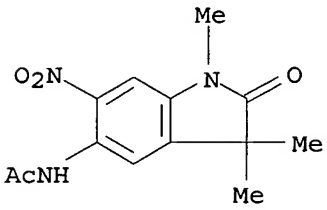
RN 120791-40-4 HCAPLUS
CN 2-Furancarboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



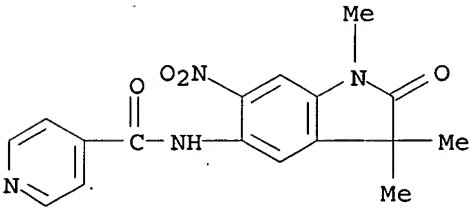
RN 120791-41-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



IT 120791-57-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 120791-57-3 HCAPLUS
CN Acetamide, N-(2,3-dihydro-1,3,3-trimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)

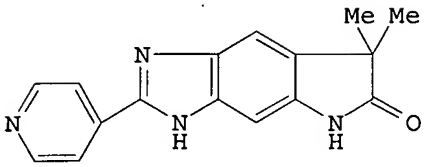


IT 116623-12-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of)
RN 116623-12-2 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-1,3,3-trimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



L15 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:515534 HCAPLUS
DOCUMENT NUMBER: 107:115534
TITLE: Nonsteroidal cardiotonics. 1. 2-Pyridyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones, a novel class of cardiotonic agents
AUTHOR(S): Mertens, A.; Mueller-Beckmann, B.; Kampe, W.; Hoelck, J. P.; Von der Saal, W.
CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.
SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1279-87
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:115534
GI



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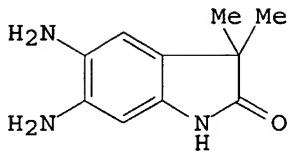
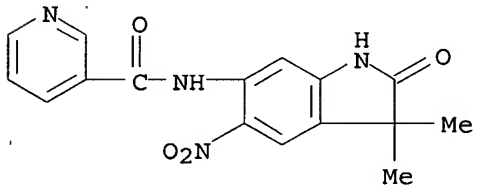


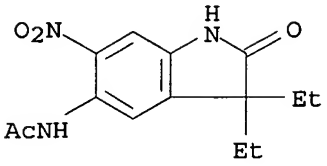
II

AB A series of 24 substituted pyridyldihydropyrrolobenzimidazolones, e.g., I, were synthesized and evaluated for pos. inotropic activity. Thus , cyclocondensation of diaminodimethylindolinone II with 4-pyridinecarboxylic acid in polyphosphoric acid gave I. In rats, cats, and dogs most of these tricyclic heterocycles produced a dose-related increase in myocardial contractility with little effect on heart rate and blood pressure. The increase in contractility was not mediated via stimulation of β-adrenergic receptors. Compound I was more potent than milrinone and enoximone when administered i.v. to rats, cats, and dogs. After oral administration of 1 mg/kg, I, milrinone, and pimobendan were equipotent. However, only I and pimobendan were still active after 6 h. The structural requirements necessary for optimal cardiotonic activity within this novel class of heterocycles were investigated.

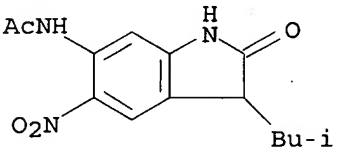
IT 100511-08-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)
RN 100511-08-8 HCAPLUS
CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



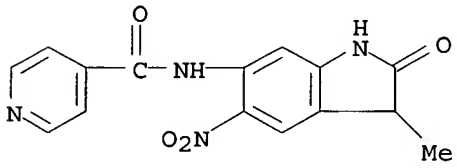
IT 100510-95-0P 100511-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)
RN 100510-95-0 HCAPLUS
CN Acetamide, N-(3,3-diethyl-2,3-dihydro-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



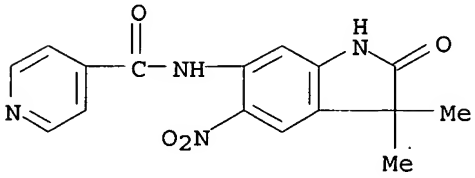
RN 100511-12-4 HCAPLUS
CN Acetamide, N-[2,3-dihydro-3-(2-methylpropyl)-5-nitro-2-oxo-1H-indol-6-yl]- (CA INDEX NAME)



IT 100510-74-5P 100511-06-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 100510-74-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3-methyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



RN 100511-06-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



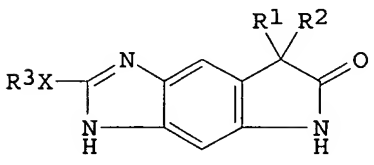
L15 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:553063 HCAPLUS
DOCUMENT NUMBER: 105:153063
TITLE: Pyrrolobenzimidazoles
INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang; Mueller-Beckmann, Bern; Strein, Klaus; Schaumann, Wolfgang
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 60 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 3445669	A1	19860619	DE 1984-3445669	19841214	<--
EP 186010	A1	19860702	EP 1985-115547	19851206	<--
EP 186010	B1	19900131			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
AT 49970	T	19900215	AT 1985-115547	19851206	<--
IL 77262	A	19890928	IL 1985-77262	19851208	<--
AU 8551006	A	19860619	AU 1985-51006	19851209	<--
AU 580822	B2	19890202			
ZA 8509382	A	19860827	ZA 1985-9382	19851209	<--
ES 549776	A1	19870116	ES 1985-549776	19851210	<--
US 4710510	A	19871201	US 1985-807260	19851210	<--
FI 8504926	A	19860615	FI 1985-4926	19851212	<--
FI 79318	B	19890831			
FI 79318	C	19891211			
DD 242045	A5	19870114	DD 1985-284206	19851212	<--
CS 276403	B6	19920513	CS 1985-9195	19851212	<--
DK 8505791	A	19860615	DK 1985-5791	19851213	<--
JP 61158984	A	19860718	JP 1985-279391	19851213	<--
JP 04071914	B	19921116			
HU 40436	A2	19861228	HU 1985-4775	19851213	<--
HU 194241	B	19880128			
SU 1440348	A3	19881123	SU 1985-3995762	19851213	<--
CA 1262908	A1	19891114	CA 1985-497668	19851213	<--
US 4810801	A	19890307	US 1987-103895	19871001	<--

PRIORITY APPLN. INFO.:
DE 1984-3445669 A 19841214
EP 1985-115547 A 19851206
US 1985-807260 A2 19851210

OTHER SOURCE(S): MARPAT 105:153063
GI



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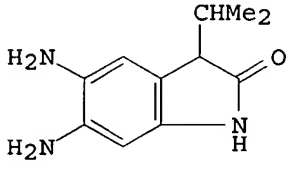
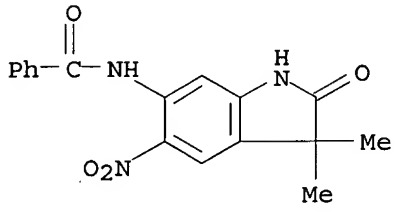


II

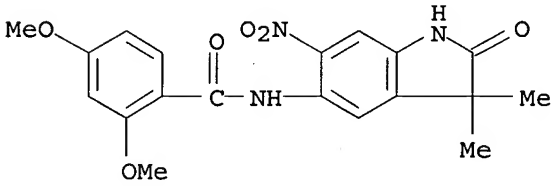
AB The title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkoxy, cyano, substituted carbonyl; R1R2 = cycloalkylene, alkylidene, cycloalkylidene; R3 = (un)substituted Ph; X = bond, alkylene, vinyl] were prepared as cardiovascular agents (no data). Thus, diaminoindole II.2HCl was cyclocondensed with 4-MeOC6H4COCl to give I (R1 = H, R2 = CHMe2, R3 = 4-MeOC6H4, X = bond).

IT 104563-92-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, reduction, and cyclization of)

RN 104563-92-0 HCAPLUS
CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



IT 104563-93-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction and cyclization of)
RN 104563-93-1 HCAPLUS
CN Benzamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)-2,4-dimethoxy- (CA INDEX NAME)



L15 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:207267 HCAPLUS
DOCUMENT NUMBER: 104:207267
TITLE: Pyrrolobenzimidazoles, medicaments containing them, and intermediates
INVENTOR(S): Hoelck, Jens Peter; Mertens, Alfred; Kampe, Wolfgang; Mueller-Beckmann, Bernd; Sponer, Gisbert; Strein, Klaus
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 83 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

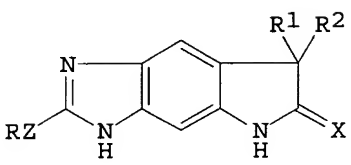
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
DE 3446417	A1	19860626	DE 1984-3446417	19841220 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
CN 85103724	A	19860702	CN 1985-103724	19850517 <--
CN 85103724	B	19880706		

PRIORITY APPLN. INFO.: DE 1984-3417643 A 19840512

DE 1984-3446417 A 19841220
EP 1985-105675 A 19850509

OTHER SOURCE(S): CASREACT 104:207267

GI



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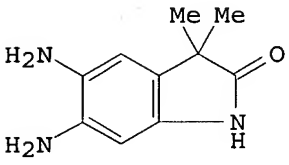


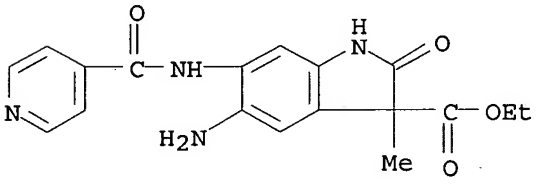
II

AB Pyrrolo[2,3-f]benzimidazolones I [R = (un)substituted (oxido)pyridinyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, R3CO; R1R2 = alkylene, alkylidene, cycloalkylidene; R3 = alkyl, alkoxy, amino, OH, H2NNH; X = O, S; Z = alkylene, CH:CH, bond], useful in treating cardiovascular diseases (no data), were prepared Thus, 2-NCC6H4CH2CN was methylated to give 2-NCC6H4CMe2CN which was cyclized by stirring in 90% H2SO4 to give 4,4-dimethyl-1,3(2H,4H)-isoquinolinedione. The latter was converted in 7 steps to 5,6-diamino-3,3-dimethyl-1H-indol-2(3H)-one (II) which was cyclocondensed with 4-pyridinecarbonyl chloride-HCl to give I (R = 4-pyridinyl, R1 = R2 = Me, X = O, Z = bond).

IT 100511-01-1P 100511-07-7P 100511-10-2P
100511-15-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

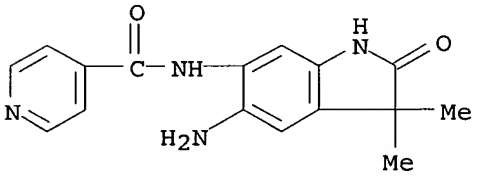
RN 100511-01-1 HCAPLUS

CN 1H-Indole-3-carboxylic acid, 5-amino-2,3-dihydro-3-methyl-2-oxo-6-[(4-pyridinylcarbonyl)amino]-, ethyl ester (CA INDEX NAME)



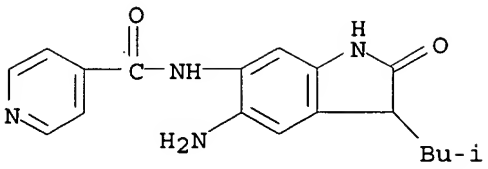
RN 100511-07-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-(5-amino-2,3-dihydro-3,3-dimethyl-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)

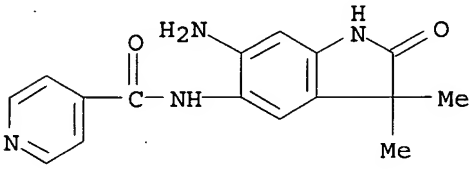


RN 100511-10-2 HCAPLUS

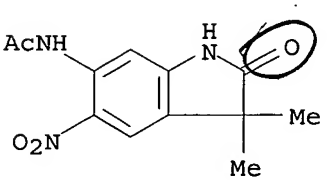
CN 4-Pyridinecarboxamide, N-[5-amino-2,3-dihydro-3-(2-methylpropyl)-2-oxo-1H-indol-6-yl]- (CA INDEX NAME)



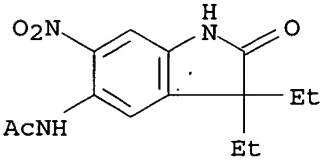
RN 100511-15-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-(6-amino-2,3-dihydro-3,3-dimethyl-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



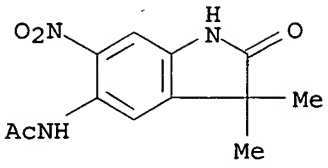
IT 100510-69-8P 100510-95-0P 100510-96-1P
100511-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)
RN 100510-69-8 HCAPLUS
CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



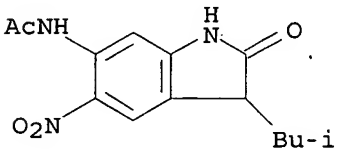
RN 100510-95-0 HCAPLUS
CN Acetamide, N-(3,3-diethyl-2,3-dihydro-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



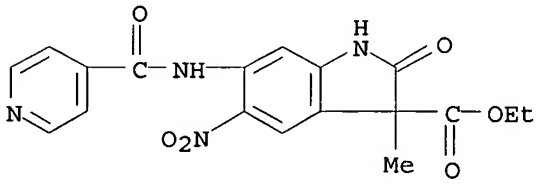
RN 100510-96-1 HCAPLUS
CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



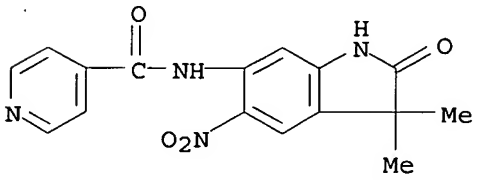
RN 100511-12-4 HCAPLUS
CN Acetamide, N-[2,3-dihydro-3-(2-methylpropyl)-5-nitro-2-oxo-1H-indol-6-yl]- (CA INDEX NAME)



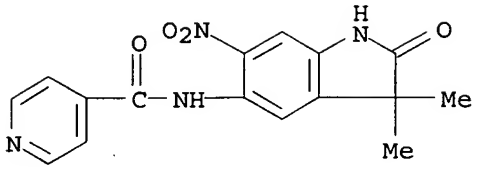
IT 100511-02-2P 100511-06-6P 100511-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
RN 100511-02-2 HCAPLUS
CN 1H-Indole-3-carboxylic acid, 2,3-dihydro-3-methyl-5-nitro-2-oxo-6-[(4-pyridinylcarbonyl)amino]-, ethyl ester (CA INDEX NAME)



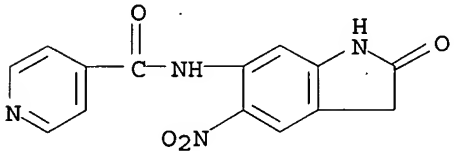
RN 100511-06-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



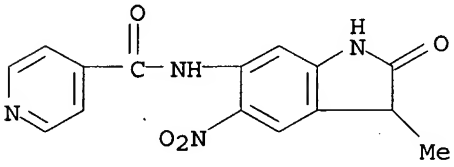
RN 100511-14-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



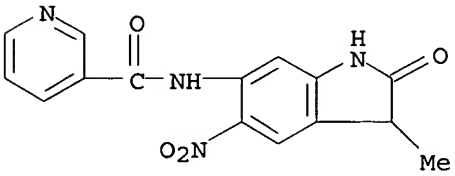
IT 100510-73-4P 100510-74-5P 100510-75-6P
100510-76-7P 100510-77-8P 100510-78-9P
100510-79-0P 100511-08-8P 100511-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of)
RN 100510-73-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



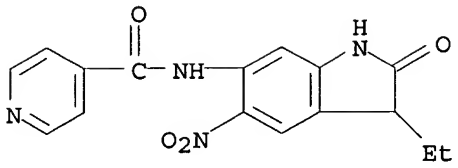
RN 100510-74-5 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3-methyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



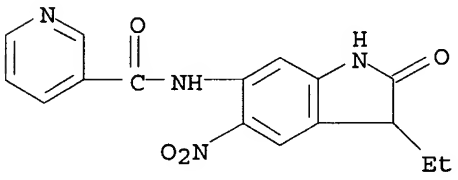
RN 100510-75-6 HCAPLUS
CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3-methyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



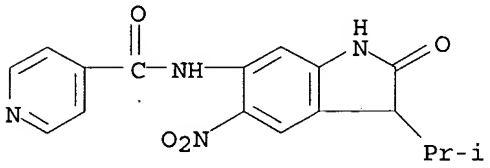
RN 100510-76-7 HCAPLUS
CN 4-Pyridinecarboxamide, N-(3-ethyl-2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



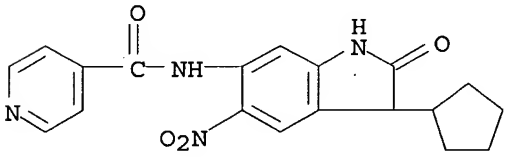
RN 100510-77-8 HCAPLUS
CN 3-Pyridinecarboxamide, N-(3-ethyl-2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



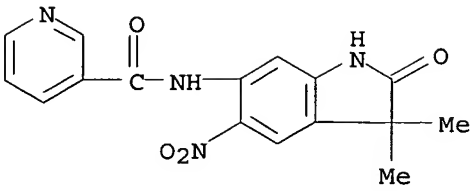
RN 100510-78-9 HCAPLUS
CN 4-Pyridinecarboxamide, N-[2,3-dihydro-3-(1-methylethyl)-5-nitro-2-oxo-1H-indol-6-yl]- (CA INDEX NAME)



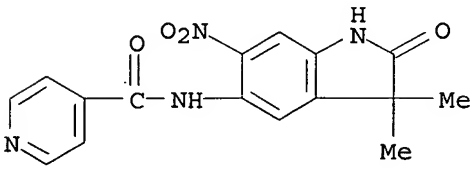
RN 100510-79-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-(3-cyclopentyl-2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



RN 100511-08-8 HCAPLUS
CN 3-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



RN 100511-14-6 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-3,3-dimethyl-6-nitro-2-oxo-1H-indol-5-yl)- (CA INDEX NAME)



L15 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:186410 HCAPLUS
DOCUMENT NUMBER: 104:186410
TITLE: Pyrrolobenzimidazolones, a drug containing them, and their intermediates
INVENTOR(S): Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd; Strein, Klaus; Sponer, Gisbert
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
ZA 8503375	A	19860129	ZA 1985-3375	19850506 <--
PL 144822	B1	19880730	PL 1985-253246	19850506 <--
PL 147239	B1	19890531	PL 1985-259262	19850506 <--
PL 147842	B1	19890831	PL 1985-259261	19850506 <--
PL 148017	B1	19890930	PL 1985-259263	19850506 <--
US 4666923	A	19870519	US 1985-731500	19850507 <--
IL 75120	A	19890228	IL 1985-75120	19850507 <--
IL 84769	A	19890228	IL 1985-84769	19850507 <--
AU 8542222	A	19851114	AU 1985-42222	19850509 <--
AU 560349	B2	19870402		
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 542976	A1	19860101	ES 1985-542976	19850509 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
DK 8502095	A	19851113	DK 1985-2095	19850510 <--

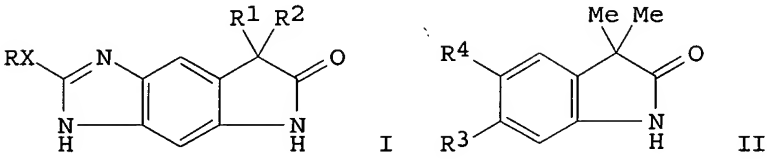
FI 8501869	A	19851113	FI 1985-1869	19850510 <--
FI 81351	B	19900629		
FI 81351	C	19901010		
NO 8501862	A	19851113	NO 1985-1862	19850510 <--
HU 37938	A2	19860328	HU 1985-1775	19850510 <--
HU 193754	B	19871130		
DD 234867	A5	19860416	DD 1985-276201	19850510 <--
SU 1480770	A3	19890515	SU 1985-3894709	19850510 <--
JP 60246386	A	19851206	JP 1985-99742	19850513 <--
JP 06047593	B	19940622		
US 4963686	A	19901016	US 1988-217143	19880705 <--
FI 8803391	A	19880715	FI 1988-3391	19880715 <--
JP 07041474	A	19950210	JP 1993-310823	19931210 <--
JP 07072185	B	19950802		

PRIORITY APPLN. INFO.:

DE 1984-3417643	A	19840512
DE 1984-3446417	A	19841220
IL 1985-75120	A	19850507
US 1985-731500	A3	19850507
EP 1985-105675	A	19850509
FI 1985-1869	A	19850510
US 1987-12098	B1	19870206

OTHER SOURCE(S): MARPAT 104:186410

GI

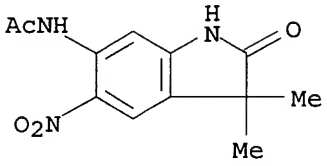


AB The title compds. [I: R = (un)substituted pyridyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl; RR1 = alkylene, alkylidene, cycloalkylidene; X = alkylene, CH:CH, bond] and their tautomers and pyridine N-oxides, useful in treatment of heart and circulatory system disorders (no data), were prepared Thus, 2-NCC6H4CH2CN was methylated to give 100% 2-NCC6H4CMe2CN which was cyclized by heating in 90% H2SO4 to give 88% 4,4-dimethyl-1,3(2H,4H)-isoquinoline. This was nitrated (85%) and ring-contracted by the Hofmann method to give 68% 3,3-dimethyl-6-nitro-2-indolinone (II; R3 = NO2, R4 = H). The latter was converted in 5 steps to II (R3 = R4 = NH2) which was cyclocondensed with isonicotinoyl chloride-HCl to give 36% I (R = 4-pyridyl, R1 = R2 = Me, X = bond).

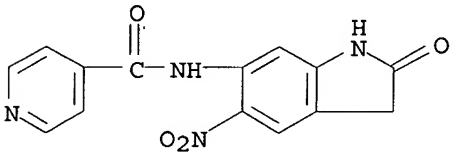
IT 100510-69-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)

RN 100510-69-8 HCAPLUS

CN Acetamide, N-(2,3-dihydro-3,3-dimethyl-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



IT 100510-73-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of)
RN 100510-73-4 HCAPLUS
CN 4-Pyridinecarboxamide, N-(2,3-dihydro-5-nitro-2-oxo-1H-indol-6-yl)- (CA INDEX NAME)



L15 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:217842 HCAPLUS
DOCUMENT NUMBER: 96:217842
ORIGINAL REFERENCE NO.: 96:36001a,36004a
TITLE: Tricyclic imidazole derivatives and their therapeutic use
INVENTOR(S): Krasso, Anna; Ramuz, Henri
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Belg., 49 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 890024	A1	19820222	BE 1981-205721	19810820 <--
CH 644116	A5	19840713	CH 1980-6321	19800821 <--
DK 8103009	A	19820222	DK 1981-3009	19810707 <--
NL 8103690	A	19820316	NL 1981-3690	19810805 <--
US 4435406	A	19840306	US 1981-290032	19810805 <--
AU 8174089	A	19820225	AU 1981-74089	19810814 <--
AU 541834	B2	19850124		
ZA 8105633	A	19820825	ZA 1981-5633	19810814 <--
IL 63576	A	19851031	IL 1981-63576	19810814 <--
DE 3132613	A1	19820624	DE 1981-3132613	19810818 <--
FR 2488890	A1	19820226	FR 1981-15936	19810819 <--
FR 2488890	B1	19850111		
CA 1134829	A1	19821102	CA 1981-384169	19810819 <--
SE 8104941	A	19820222	SE 1981-4941	19810820 <--
SE 452765	B	19871214		
SE 452765	C	19880324		

GB 2082580	A	19820310	GB 1981-25486	19810820	<--
GB 2082580	B	19840307			
JP 57070886	A	19820501	JP 1981-129475	19810820	<--
AT 8103643	A	19840515	AT 1981-3643	19810820	<--
AT 376665	B	19841227			
US 4554280	A	19851119	US 1983-560698	19831212	<--
US 4599347	A	19860708	US 1983-560699	19831212	<--

PRIORITY APPLN. INFO.: CH 1980-6321 A 19800821
US 1981-290032 A3 19810805

OTHER SOURCE(S): CASREACT 96:217842; MARPAT 96:217842

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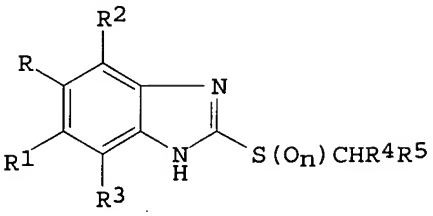

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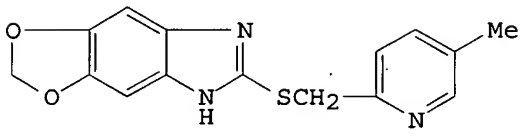


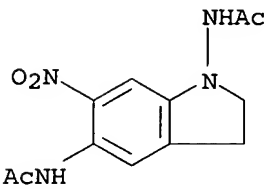
III

AB Imidazoles I [RR1 = CH:CHCH:CH, (un)substituted (CH2)3, (CH2)4, CH2CH2NH, O(CH2)1-3O, CH2OCH2CH2O; R2-R4 = H, alkyl; R5 = (un)substituted 2-pyridyl; n = 0, 1] were prepared Thus 1,3-benzodioxole was converted to the 5-nitro derivative and reduced to the amine which was acetylated and nitrated to give 5-acetamido-6-nitro-1,3-benzodioxole (II). Deacylation of II and reduction gave 5,6-diamino-1,3-benzodioxole which was treated with EtOCS2K to give 5H-1,3-dioxolo[4,5-f]benzimidazole-6-thiol. Treatment of the thiol with 2-chloromethyl-5-methylpyridine gave III which had a ED50 in the Heidenhain test of 1.8 mg/kg orally in dogs.

IT 81864-37-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacetylation of)

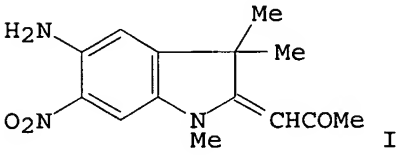
RN 81864-37-1 HCAPLUS

CN Acetamide, N,N'-(2,3-dihydro-6-nitro-1H-indole-1,5-diyl)bis- (9CI) (CA INDEX NAME)



=> d l13 ibib abs tot

L13 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:632021 HCAPLUS
DOCUMENT NUMBER: 115:232021
TITLE: 2-Methyl- and 2-methyleneindole derivatives. 3. 5,6-Disubstituted aminonitro derivatives of 2-methyl- and 2-methyleneindolines
AUTHOR(S): Tolmachev, A. A.; Tolmacheva, V. S.; Shevchuk, L. I.; Turov, A. V.; Kozlov, E. S.; Babichev, F. S.
CORPORATE SOURCE: Kiev. Gos. Univ., Kiev, 252017, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1990), (11), 1495-9
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 115:232021
GI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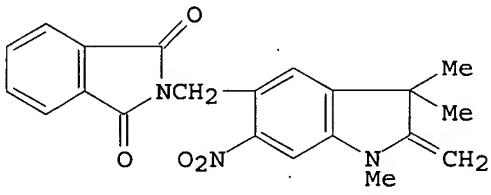
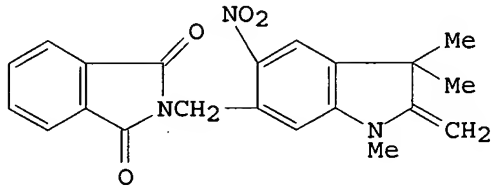

II


III

AB The methods of preparation of aminonitro derivs. of methyleneindolines, e.g., (I), (II) and (III) were examined

L13 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:20899 HCAPLUS
DOCUMENT NUMBER: 112:20899
TITLE: Indolines as intermediates for pyrrolobenzimidazole cardiovascular agents
INVENTOR(S): Martens, Alfred; Hoelck, Jens Peter; Berger, Herbert; Mueller-Beckman, Bernd; Strein, Klaus; Roesch, Egon
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 4,695,567.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4835280	A	19890530	US 1987-72917	19870714 <--
DE 3501497	A1	19860724	DE 1985-3501497	19850118 <--
US 4695567	A	19870922	US 1986-820259	19860117 <--

PRIORITY APPLN. INFO.: DE 1985-3501497 A 19850118
US 1986-820259 A2 19860117

OTHER SOURCE(S): CASREACT 112:20899

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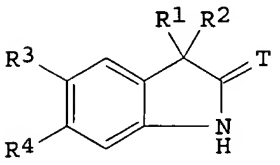

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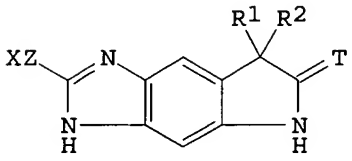


II

AB Indolines I [R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, cyano, alkyl, alkenyl, COR5; R5 = OH, alkyl, alkoxy, (mono- or dialkyl-substituted) NH2, HNNH2; one of R3 and R4 = H and the other = NHCOZX; Z = bond, alkylene, CH:CH; X = furanyl, thiophenyl, oxazolyl, imidazolyl, etc.); T = O, S] are prepared as intermediates for pyrrolobenzimidazoles II. II are useful for increasing the strength of heart and/or influencing thrombocyte function and improving the microcirculation and/or lowering blood pressure. Treatment of I.HCl (R1 = Me, R2 = EtO2C, R3 = H, R4 = NH2, T = O) with pyrazine-2-carboxylic acid chloride in CH2Cl2 in the presence of Et3N gave I (R4 = 2-pyrazinylcarbonylamino), followed by nitration with NaNO2 in H2SO4 to afford I (R3 = NO2), which in EtOH was hydrogenated in the presence of Pd/C and the product was treated in AcOH to afford II (R1 = Me, R2 = EtO2C, XZ = 2-pyrazinyl, T = O). The latter showed 0.04 mg/kg i.v. DE1.5 mHg/s [the equipotent doses DE1.5 = the dose that lead to an increase of (dp/dt)60 of 1.5 mHg/s].

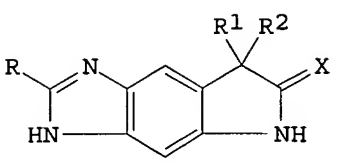
L13 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:515180 HCAPLUS
DOCUMENT NUMBER: 111:115180
TITLE: Preparation of 6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones as cardiovascular agents
INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang; Mueller-Beckmann, Bernd; Strein, Klaus; Schaumann, Wolfgang
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 807,260.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4810801	A	19890307	US 1987-103895	19871001 <--
DE 3445669	A1	19860619	DE 1984-3445669	19841214 <--
US 4710510	A	19871201	US 1985-807260	19851210 <--

PRIORITY APPLN. INFO.: DE 1984-3445669 A 19841214
US 1985-807260 A2 19851210

OTHER SOURCE(S): CASREACT 111:115180; MARPAT 111:115180

GI



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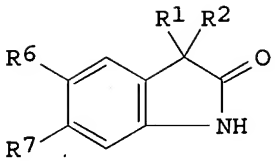
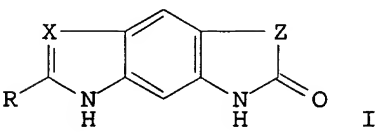


II

AB The title compds. [I; R = QZ; Q = R3-R5-substituted phenyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, cyano, alkyl, alkenyl, (un)substituted CO2H; R1R2 = alkylidene, cycloalkylidene; R3-R5 = H, OH, alkoxy, alkylthio, halo, NO2, cyano, etc.; X = O, S; Z = bond, alkylene, vinylene] were prepared, e.g., by condensation of indolinone II (R6 = R7 = NH2) with QZCOCl. II (R1 = R2 = Me, R6 = NO2, R7 = NH2) was stirred with BzCl and the product hydrogenated over Pd/C to give 81% I (R = Ph, R1 = R2 = Me, X = O). Similarly prepared I [R = 2,4-(MeO)2C6H3, R1 = R2 = Me, X = O] gave an increase of rat heart contractility of 4.2 mmHg/s at 10 mg/kg i.v.

L13 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:423439 HCAPLUS
DOCUMENT NUMBER: 111:23439
TITLE: Nonsteroidal cardiotonics. 2. The inotropic activity of linear, tricyclic 5-6-5 fused heterocycles
AUTHOR(S): Von der Saal, Wolfgang; Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd
CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.
SOURCE: Journal of Medicinal Chemistry (1989), 32(7), 1481-91
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:23439
GI



I

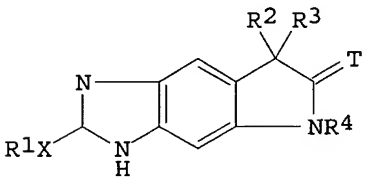
AB A series of linear, tricyclic fused heterocycles of the 5-6-5 type e.g. I (R = alkyl, alkenyl, (un)substituted Ph, heteroaryl; X = N, CH, O; Z = CMe2, O, S NH, alkylimino) were prepared Thus, 5,6-diamino-1,3-dihydro-3,3dimethyl-(2H)-indol-2-one was cyclized with HCO2H to give 90% I (R = H, X = N, Z = CMe2). The compds. were evaluated for pos. inotropic activity in anesthetized rats, cats, and dogs. Changes in left ventricular dP/dt were measured as an index of cardiac contractility. The increase in contractility was not mediated via stimulation of β-adrenergic receptors. The data revealed the intrinsic pos. inotropic activity of the parent compound of this series I (R = H, X = N, Z = CMe2). The structural features that impart optimal inotropic activity are presented and compared with those of the 4,5-dihydro-3(2H)-pyridazinone series. The most potent compds. were evaluated orally in conscious dogs with implant Konigsberg pressure transducers to measure ventricular pressures, and their effect on left ventricular dP/dt was compared with that of adibendan, pimobendan,

and indolidan.

L13 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:549537 HCAPLUS
DOCUMENT NUMBER: 109:149537
TITLE: Preparation of pyrrolobenzimidazoles as cardiovascular agents
INVENTOR(S): Friebe, Walter Gunnar; Mertens, Alfred; Strein, Klaus; Boehm, Erwin
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3642315	A1	19880623	DE 1986-3642315	19861211 <--
EP 271040	A2	19880615	EP 1987-118046	19871207 <--
EP 271040	A3	19891102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8709166	A	19880831	ZA 1987-9166	19871207 <--
DD 267047	A5	19890419	DD 1987-310045	19871207 <--
JP 63162692	A	19880706	JP 1987-309792	19871209 <--
DK 8706501	A	19880612	DK 1987-6501	19871210 <--
FI 8705438	A	19880612	FI 1987-5438	19871210 <--
HU 47278	A2	19890228	HU 1987-5579	19871210 <--
HU 200339	B	19900528		
US 4863945	A	19890905	US 1987-131367	19871210 <--
AU 8782450	A	19880616	AU 1987-82450	19871211 <--

PRIORITY APPLN. INFO.: DE 1986-3642315 A 19861211
OTHER SOURCE(S): CASREACT 109:149537; MARPAT 109:149537
GI



I

AB The title compds. [I; R1 = H, (substituted) Ph, naphthyl, heterocyclyl, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, OH, SH, amino, etc.; R2 = H, alkyl, alkenyl, cycloalkyl; R3 = alkyl, alkenyl, hydroxyalkyl; R2R3 = alkylene, alkylidine, cycloalkylidine; R4 = H, alkanoyl; X = bond, alkylene, vinylene, NH, CONH; T = H2, O] and their tautomers and physiol. acceptable salts were prepared as cardiovascular agents (no data). 3,3-Dimethylindoline was converted in several steps to 5-acetamido-1-acetyl-3,3-dimethyl-6-nitroindoline and the latter was hydrogenated over Raney Ni in THF at 40° and 1 bar; the product amine was refluxed in EtOH saturated with HCl to give 2,7,7-trimethyl-3,5,6,7-tetrahydropyrrolo[2,3-f]benzimidazole-2HCl.

L13 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:549533 HCAPLUS
DOCUMENT NUMBER: 109:149533
TITLE: Preparation and formulation of pyrrolobenzimidazoles, as drugs
INVENTOR(S): Narr, Berthold; Hauel, Norbert; Noll, Klaus; Heider, Joachim; Psiorz, Manfred; Bomhard, Andreas; Van Meel, Jacobus; Diederen, Willi
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 3639466	A1	19880519	DE 1986-3639466	19861118	<--
EP 268178	A1	19880525	EP 1987-116512	19871109	<--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
DD 272081	A5	19890927	DD 1987-309081	19871116	<--
AU 8781299	A	19880519	AU 1987-81299	19871117	<--
DK 8706024	A	19880519	DK 1987-6024	19871117	<--
FI 8705076	A	19880519	FI 1987-5076	19871117	<--
NO 8704780	A	19880519	NO 1987-4780	19871117	<--
JP 63135385	A	19880607	JP 1987-290467	19871117	<--
HU 47277	A2	19890228	HU 1987-5099	19871117	<--
ZA 8708590	A	19890726	ZA 1987-8590	19871117	<--

PRIORITY APPLN. INFO.: DE 1986-3639466 A 19861118
OTHER SOURCE(S): CASREACT 109:149533; MARPAT 109:149533
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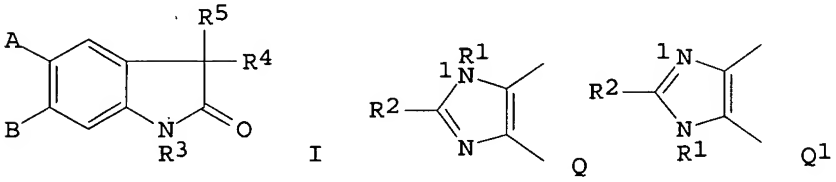
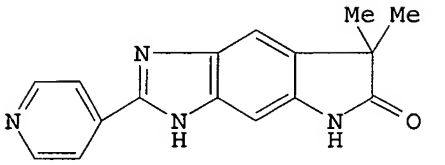


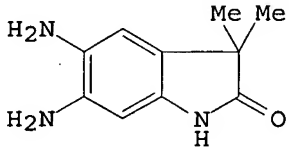
AB Pyrrolobenzimidazoles I [AB together with the adjacent C's = Q, Q1; R1 = H, Ph (un)substituted alkyl; R2 = (un)substituted Ph, alkyl (un)substituted pyridyl; R3 = Ph (un)substituted alkyl or alkyl substituted, starting at position 2, with OH or alkoxy; R4, R5 = H, alkyl, cycloalkyl] and their acid addition salts, having a pos. inotropic activity with a small effect on blood pressure and an antithrombotic activity, were prepared 5-Isonicotinoylamino-6-nitro-1,3,3-trimethylindolin-2-one in AcOH was treated with Raney Ni and hydrogenated 4 h at 80°/5 bar H to give 58.5% 2-(4-pyridyl)-5,7,7-trimethyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-one (II). At 0.3 mg/kg in cats, II gave 23 mm lowering of diastolic blood pressure, 40% increase in contractility parameter, and 4% increase in heart frequency, with half-life of activity time = 21 min. A typical tablet formulation comprises II 50.0, lactose 40.0, corn starch 17.0, polyvinylpyrrolidone 2.0, and Mg stearate 1.0 mg.

L13 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:515534 HCAPLUS
DOCUMENT NUMBER: 107:115534
TITLE: Nonsteroidal cardiotonics. 1. 2-Pyridyl-6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones, a novel class of cardiotonic agents
AUTHOR(S): Mertens, A.; Mueller-Beckmann, B.; Kampe, W.; Hoelck, J. P.; Von der Saal, W.
CORPORATE SOURCE: Dep. Chem., Boehringer Mannheim G.m.b.H., Mannheim, 6800, Fed. Rep. Ger.
SOURCE: Journal of Medicinal Chemistry (1987), 30(8), 1279-87
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:115534
GI



I



II

AB A series of 24 substituted pyridyldihydropyrrolobenzimidazolones, e.g., I, were synthesized and evaluated for pos. inotropic activity. Thus, cyclocondensation of diaminodimethylindolinone II with 4-pyridinecarboxylic acid in polyphosphoric acid gave I. In rats, cats, and dogs most of these tricyclic heterocycles produced a dose-related increase in myocardial contractility with little effect on heart rate and blood pressure. The increase in contractility was not mediated via stimulation of β-adrenergic receptors. Compound I was more potent than milrinone and enoximone when administered i.v. to rats, cats, and dogs. After oral administration of 1 mg/kg, I, milrinone, and pimobendan were equipotent. However, only I and pimobendan were still active after 6 h. The structural requirements necessary for optimal cardiotonic activity within this novel class of heterocycles were investigated.

L13 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:439825 HCAPLUS
DOCUMENT NUMBER: 107:39825
TITLE: Preparation of pyrrolobenzimidazolones for treatment of cardiovascular disease
INVENTOR(S): Saal, Wolfgang; Mertens, Alfred; Berger, Herbert; Mueller-Beckmann, Bernd
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3531678	Ai	19870312	DE 1985-3531678	19850905 <--
IL 79910	A	19900209	IL 1986-79910	19860901 <--

DK 8604189	A	19870306	DK 1986-4189		19860902 <--
AU 8662165	A	19870312	AU 1986-62165		19860902 <--
AU 584235	B2	19890518			
EP 214592	A2	19870318	EP 1986-112093		19860902 <--
EP 214592	A3	19880706			
EP 214592	B1	19910814			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
DD 253821	A5	19880203	DD 1986-294089		19860902 <--
AT 66230	T	19910815	AT 1986-112093		19860902 <--
FI 8603565	A	19870306	FI 1986-3565		19860904 <--
ZA 8606704	A	19870527	ZA 1986-6704		19860904 <--
HU 42770	A2	19870828	HU 1986-3827		19860904 <--
HU 197010	B	19890228			
US 4730003	A	19880308	US 1986-904094		19860904 <--
JP 62059279	A	19870314	JP 1986-208117		19860905 <--
ES 2001774	A6	19880616	ES 1986-1647		19860905 <--
PRIORITY APPLN. INFO.:			DE 1985-3531678	A	19850905
			EP 1986-112093	A	19860902

OTHER SOURCE(S): CASREACT 107:39825; MARPAT 107:39825
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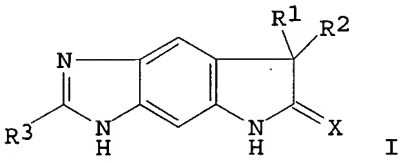


I

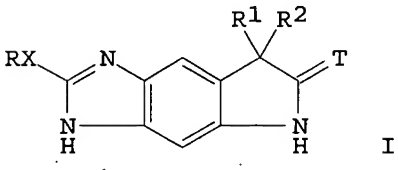
AB The title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, (modified) carboxylate; R1R2 = alkylidene, atoms to complete a ring; R3 = H, OH, SH, alkylthio, amino, amido, alkyl, cycloalkyl, etc; X = S, O] were prepared as cardiovascular agents (no data). 5,6-Diamino-3,3-dimethyl-2-indolinone was refluxed for 4.5 h in HCO2H to give 91% I (R1 = R2 = Me, R3 = H, X = O).

L13 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:591088 HCAPLUS
DOCUMENT NUMBER: 105:191088
TITLE: Pyrrolobenzimidazoles
INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Berger, Herbert; Mueller-Beckmann, Bernd; Strein, Klaus; Roesch, Egon
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3501497	A1	19860724	DE 1985-3501497	19850118 <--
IL 77582	A	19900118	IL 1986-77582	19860113 <--
AU 8652245	A	19860724	AU 1986-52245	19860114 <--
AU 580832	B2	19890202		

EP 189103	A2	19860730	EP 1986-100451		19860115 <--
EP 189103	A3	19871223			
EP 189103	B1	19910102			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
AT 59649	T	19910115	AT 1986-100451		19860115 <--
DK 8600207	A	19860719	DK 1986-207		19860116 <--
DD 253620	A5	19880127	DD 1986-286253		19860116 <--
FI 8600228	A	19860719	FI 1986-228		19860117 <--
FI 81579	B	19900731			
FI 81579	C	19901112			
JP 61167689	A	19860729	JP 1986-6617		19860117 <--
ZA 8600360	A	19860924	ZA 1986-360		19860117 <--
HU 41791	A2	19870528	HU 1986-241		19860117 <--
HU 194242	B	19880128			
US 4695567	A	19870922	US 1986-820259		19860117 <--
ES 551002	A1	19880301	ES 1986-551002		19860117 <--
SU 1470191	A3	19890330	SU 1986-4012604		19860117 <--
US 4835280	A	19890530	US 1987-72917		19870714 <--
ES 557777	A1	19890116	ES 1987-557777		19871209 <--
ES 557777	A5	19890127			
FI 8903090	A	19890622	FI 1989-3090		19890622 <--
PRIORITY APPLN. INFO.:			DE 1985-3501497	A	19850118
			EP 1986-100451	A	19860115
			FI 1986-228	A	19860117
			US 1986-820259	A2	19860117

OTHER SOURCE(S): MARPAT 105:191088
GI



I

AB Pyrrolobenzimidazoles I [R = 6-membered heterocyclyl with O or S atom or 2-5 hetero atoms, 5-membered heterocyclyl with 1-4 hetero atoms, all (un)substituted; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, substituted carbonyl; R1R2 = cycloalkylene, alkylidene, cycloalkylidene; X = bond, C1-4 alkylene, vinyl; T = O, S], useful in strengthening the heart and(or) as antihypertensives and(or) influencing thrombocyte function and improving microcirculation (no data), were prepared by 3 methods. 6-Amino-5-nitro-3,3-dimethyl-2-indolinone in pyridine was acylated with 2-furancarbonyl chloride, the product 6-furanoylamino-5-nitro-3,3-dimethyl-2-indolinone hydrogenated over 10% Pd/C, and the resultant 5-amino analog cyclized with concentrated HCl in EtOH for 1 h at 80° to give 33.3% I (R = 2-furanyl, R1 = R2 = Me, X = bond, T = O).

L13 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:553063 HCAPLUS
DOCUMENT NUMBER: 105:153063
TITLE: Pyrrolobenzimidazoles
INVENTOR(S): Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang; Mueller-Beckmann, Bern; Strein, Klaus; Schaumann, Wolfgang
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 60 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3445669	A1	19860619	DE 1984-3445669	19841214 <--
EP 186010	A1	19860702	EP 1985-115547	19851206 <--
EP 186010	B1	19900131		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 49970	T	19900215	AT 1985-115547	19851206 <--
IL 77262	A	19890928	IL 1985-77262	19851208 <--
AU 8551006	A	19860619	AU 1985-51006	19851209 <--
AU 580822	B2	19890202		
ZA 8509382	A	19860827	ZA 1985-9382	19851209 <--
ES 549776	A1	19870116	ES 1985-549776	19851210 <--
US 4710510	A	19871201	US 1985-807260	19851210 <--
FI 8504926	A	19860615	FI 1985-4926	19851212 <--
FI 79318	B	19890831		
FI 79318	C	19891211		
DD 242045	A5	19870114	DD 1985-284206	19851212 <--
CS 276403	B6	19920513	CS 1985-9195	19851212 <--
DK 8505791	A	19860615	DK 1985-5791	19851213 <--
JP 61158984	A	19860718	JP 1985-279391	19851213 <--
JP 04071914	B	19921116		
HU 40436	A2	19861228	HU 1985-4775	19851213 <--
HU 194241	B	19880128		
SU 1440348	A3	19881123	SU 1985-3995762	19851213 <--
CA 1262908	A1	19891114	CA 1985-497668	19851213 <--
US 4810801	A	19890307	US 1987-103895	19871001 <--

PRIORITY APPLN. INFO.:
DE 1984-3445669 A 19841214
EP 1985-115547 A 19851206
US 1985-807260 A2 19851210

OTHER SOURCE(S): MARPAT 105:153063
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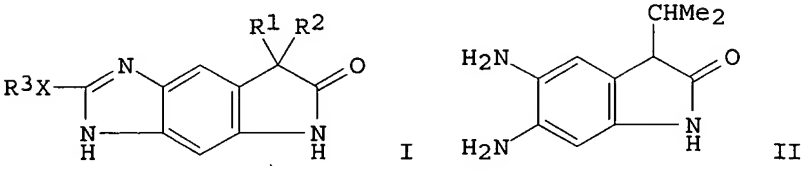


AB The title compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkoxy, cyano, substituted carbonyl; R1R2 = cycloalkylene, alkylidene, cycloalkylidene; R3 = (un)substituted Ph; X = bond, alkylene, vinyl] were prepared as cardiovascular agents (no data). Thus, diaminoindole II.2HCl was cyclocondensed with 4-MeOC6H4COCl to give I (R1 = H, R2 = CHMe2, R3 = 4-MeOC6H4, X = bond).

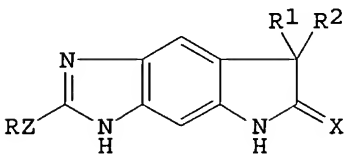
L13 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:207267 HCAPLUS
DOCUMENT NUMBER: 104:207267
TITLE: Pyrrolobenzimidazoles, medicaments containing them, and intermediates

INVENTOR(S): Hoelck, Jens Peter; Mertens, Alfred; Kampe, Wolfgang; Mueller-Beckmann, Bernd; Sponer, Gisbert; Strein, Klaus
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 83 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
DE 3446417	A1	19860626	DE 1984-3446417	19841220 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
CN 85103724	A	19860702	CN 1985-103724	19850517 <--
CN 85103724	B	19880706		

PRIORITY APPLN. INFO.:
DE 1984-3417643 A 19840512
DE 1984-3446417 A 19841220
EP 1985-105675 A 19850509

OTHER SOURCE(S): CASREACT 104:207267
GI



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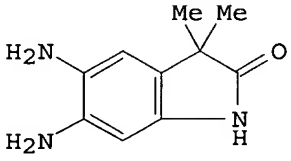

II

AB Pyrrolo[2,3-f]benzimidazolones I [R = (un)substituted (oxido)pyridinyl; R1 = H, alkyl, alkenyl, cycloalkyl; R2 = H, alkyl, alkenyl, cyano, R3CO; R1R2 = alkylene, alkylidene, cycloalkylidene; R3 = alkyl, alkoxy, amino, OH, H2NNH; X = O, S; Z = alkylene, CH:CH, bond], useful in treating cardiovascular diseases (no data), were prepared Thus, 2-NCC6H4CH2CN was methylated to give 2-NCC6H4CMe2CN which was cyclized by stirring in 90% H2SO4 to give 4,4-dimethyl-1,3(2H,4H)-isoquinolinedione. The latter was converted in 7 steps to 5,6-diamino-3,3-dimethyl-1H-indol-2(3H)-one (II) which was cyclocondensed with 4-pyridinecarbonyl chloride-HCl to give I (R = 4-pyridinyl, R1 = R2 = Me, X = O, Z = bond).

L13 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:186410 HCAPLUS
DOCUMENT NUMBER: 104:186410
TITLE: Pyrrolobenzimidazolones, a drug containing them, and their intermediates
INVENTOR(S): Hoelck, Jens Peter; Kampe, Wolfgang; Mertens, Alfred; Mueller-Beckmann, Bernd; Strein, Klaus; Sponer, Gisbert
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3417643	A1	19851114	DE 1984-3417643	19840512 <--
ZA 8503375	A	19860129	ZA 1985-3375	19850506 <--
PL 144822	B1	19880730	PL 1985-253246	19850506 <--
PL 147239	B1	19890531	PL 1985-259262	19850506 <--
PL 147842	B1	19890831	PL 1985-259261	19850506 <--
PL 148017	B1	19890930	PL 1985-259263	19850506 <--
US 4666923	A	19870519	US 1985-731500	19850507 <--
IL 75120	A	19890228	IL 1985-75120	19850507 <--
IL 84769	A	19890228	IL 1985-84769	19850507 <--
AU 8542222	A	19851114	AU 1985-42222	19850509 <--
AU 560349	B2	19870402		
EP 161632	A2	19851121	EP 1985-105675	19850509 <--
EP 161632	A3	19860611		
EP 161632	B1	19910410		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ES 542976	A1	19860101	ES 1985-542976	19850509 <--
AT 62487	T	19910415	AT 1985-105675	19850509 <--
DK 8502095	A	19851113	DK 1985-2095	19850510 <--
FI 8501869	A	19851113	FI 1985-1869	19850510 <--
FI 81351	B	19900629		
FI 81351	C	19901010		
NO 8501862	A	19851113	NO 1985-1862	19850510 <--
HU 37938	A2	19860328	HU 1985-1775	19850510 <--
HU 193754	B	19871130		
DD 234867	A5	19860416	DD 1985-276201	19850510 <--
SU 1480770	A3	19890515	SU 1985-3894709	19850510 <--
JP 60246386	A	19851206	JP 1985-99742	19850513 <--
JP 06047593	B	19940622		
US 4963686	A	19901016	US 1988-217143	19880705 <--
FI 8803391	A	19880715	FI 1988-3391	19880715 <--
JP 07041474	A	19950210	JP 1993-310823	19931210 <--
JP 07072185	B	19950802		

PRIORITY APPLN. INFO.:

DE 1984-3417643	A	19840512
DE 1984-3446417	A	19841220
IL 1985-75120	A	19850507
US 1985-731500	A3	19850507
EP 1985-105675	A	19850509
FI 1985-1869	A	19850510
US 1987-12098	B1	19870206

OTHER SOURCE(S): MARPAT 104:186410
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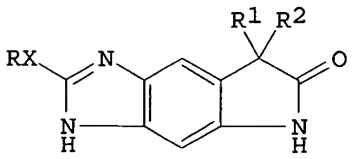

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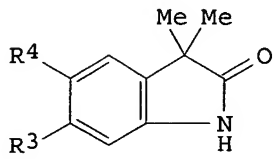


II

AB The title compds. [I: R = (un)substituted pyridyl; R1 = H, alkyl, alkenyl,

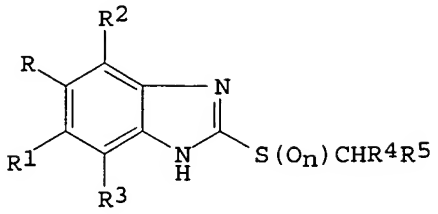
cycloalkyl; R2 = H, alkyl, alkenyl; RR1 = alkylene, alkylidene, cycloalkylidene; X = alkylene, CH:CH, bond] and their tautomers and pyridine N-oxides, useful in treatment of heart and circulatory system disorders (no data), were prepared Thus, 2-NCC6H4CH2CN was methylated to give 100% 2-NCC6H4CMe2CN which was cyclized by heating in 90% H2SO4 to give 88% 4,4-dimethyl-1,3(2H,4H)-isoquinoline. This was nitrated (85%) and ring-contracted by the Hofmann method to give 68% 3,3-dimethyl-6-nitro-2-indolinone (II; R3 = NO2, R4 = H). The latter was converted in 5 steps to II (R3 = R4 = NH2) which was cyclocondensed with isonicotinoyl chloride-HCl to give 36% I (R = 4-pyridyl, R1 = R2 = Me, X = bond).

L13 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:217842 HCAPLUS
DOCUMENT NUMBER: 96:217842
ORIGINAL REFERENCE NO.: 96:36001a,36004a
TITLE: Tricyclic imidazole derivatives and their therapeutic use
INVENTOR(S): Krasso, Anna; Ramuz, Henri
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Belg., 49 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 890024	A1	19820222	BE 1981-205721	19810820 <--
CH 644116	A5	19840713	CH 1980-6321	19800821 <--
DK 8103009	A	19820222	DK 1981-3009	19810707 <--
NL 8103690	A	19820316	NL 1981-3690	19810805 <--
US 4435406	A	19840306	US 1981-290032	19810805 <--
AU 8174089	A	19820225	AU 1981-74089	19810814 <--
AU 541834	B2	19850124		
ZA 8105633	A	19820825	ZA 1981-5633	19810814 <--
IL 63576	A	19851031	IL 1981-63576	19810814 <--
DE 3132613	A1	19820624	DE 1981-3132613	19810818 <--
FR 2488890	A1	19820226	FR 1981-15936	19810819 <--
FR 2488890	B1	19850111		
CA 1134829	A1	19821102	CA 1981-384169	19810819 <--
SE 8104941	A	19820222	SE 1981-4941	19810820 <--
SE 452765	B	19871214		
SE 452765	C	19880324		
GB 2082580	A	19820310	GB 1981-25486	19810820 <--
GB 2082580	B	19840307		
JP 57070886	A	19820501	JP 1981-129475	19810820 <--
AT 8103643	A	19840515	AT 1981-3643	19810820 <--
AT 376665	B	19841227		
US 4554280	A	19851119	US 1983-560698	19831212 <--
US 4599347	A	19860708	US 1983-560699	19831212 <--

PRIORITY APPLN. INFO.: CH 1980-6321 A 19800821
US 1981-290032 A3 19810805

OTHER SOURCE(S): CASREACT 96:217842; MARPAT 96:217842
GI



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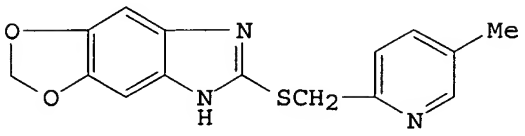


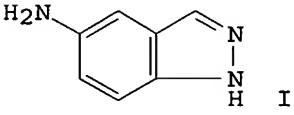
III

AB Imidazoles I [RR1 = CH:CHCH:CH, (un)substituted (CH2)3, (CH2)4, CH2CH2NH, O(CH2)1-3O, CH2OCH2CH2O; R2-R4 = H, alkyl; R5 = (un)substituted 2-pyridyl; n = 0, 1] were prepared Thus 1,3-benzodioxole was converted to the 5-nitro derivative and reduced to the amine which was acetylated and nitrated to give 5-acetamido-6-nitro-1,3-benzodioxole (II). Deacylation of II and reduction gave 5,6-diamino-1,3-benzodioxole which was treated with EtOCS2K to give 5H-1,3-dioxolo[4,5-f]benzimidazole-6-thiol. Treatment of the thiol with 2-chloromethyl-5-methylpyridine gave III which had a ED50 in the Heidenhain test of 1.8 mg/kg orally in dogs.

L13 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1977:195067 HCAPLUS
DOCUMENT NUMBER: 86:195067
ORIGINAL REFERENCE NO.: 86:30529a,30532a
TITLE: Dyeing hair with indolines indoles and indazoles
INVENTOR(S): Parent, Richard Alfred; Loffelman, Frank Fred
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4013404	A	19770322	US 1975-565883	19750407 <--
PRIORITY APPLN. INFO.:			US 1970-96224 A1	19701206

GI



I

AB Hair dyeing compns. for oxidative or direct dyeing methods contain indolines, indoles, or indazoles. There compns. dye keratinaceous fibers, especially hair, shades ranging from ash blond to dark browns. For example, an oxidation, liquid dye composition was prepared by mixing 8 parts cationic surfactant,

polyethoxylated oleyl Me ammonium chloride with 83 parts H2O and to it adding 1 part 5-aminoindazole (I) [19335-11-6] dissolved in 8 parts BuOH. The resultant solution was mixed with an equal quant. of 6% H2O2 solution Albino hair tresses immersed in this dye composition were dyed an orange of good color value. When half the I was replaced with the modifier, 5-hydroxyindole, an attractive light-brown shade was obtained on hair. The addition of 1 part of the modifier, resorcinol, to the above composition using 82 parts instead of 83 parts H2O, resulted in attractive light golden brown hair. Methods for preparing some of the azole compds. are given.

L13 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:421963 HCAPLUS
DOCUMENT NUMBER: 71:21963
ORIGINAL REFERENCE NO.: 71:4041a,4044a
TITLE: Introduction of substituents into the benzene ring of indole. IX. 5,6-Dinitro- and 5,6-diaminoindolines
AUTHOR(S): Terent'ev, A. P.; Vinogradova, E. V.; Chetverikov, V. P.; Lenenko, V. S.
CORPORATE SOURCE: Mosk. Gos. Univ. im. Lomonosova, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1969), (2), 258-61
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB To 59.5 g. indoline dissolved with cooling in 200 ml. H2SO4 at less than 5° was added a mixture of 22 ml. HNO3 (d. 1.52) and H2SO4, stirred 1 hr. and poured on ice-water, filtered and neutralized with NH3 to give 80% 6-nitroindoline, m. 68-8.5° (pentane); acetyl derivative (I) m. 153-4° (EtOH). A suspension of 20.6 g. I in 40 ml. Ac2O was treated dropwise at 15-20° (at the conclusion at 40-50°) with 5 ml. HNO3 (d. 1.52), to give 95% II (R = Ac, R1 = R2 = NO2) (III), m. 192-2.5° (PhMe). III (12.6 g.) refluxed 1 hr. in 100 ml. HCl gave 78% II (R = H, R1 = R2 = NO2), m. 208° (EtOH). III (12.6 g.) was reduced in 300 ml. MeOH with 20 ml. H2NNH2.H2O and 0.5 g. Raney Ni to yield 84% II (R = Ac, R1 = R2 = NH2), m. 212-13° (MeOH). Similarly, II (R = Ac, R1 = NO2, R2 = H) gave 92° II (R = Ac, R1 = NH2, R2 = H), m. 182-4° (EtOH); diacetyl derivative (IV) m. 211-12° (EtOH). IV (2.2 g.) was nitrated in 20 ml. Ac2O at 0° with 3 ml. HNO3 (d. 1.52) to give 91% II (R = Ac, R1 = NHAc, R2 = NO2) (V), m. 206-7° (EtOH). V was reduced with H2NNH2.H2O and Raney Ni to give 91% II (R = Ac, R1 = NHAc, R2 = NH2), m. 206-7° (EtOH). To a suspension of 3 g. II (R = Ac, R1 = H, R2 = NHAc) in 30 ml. Ac2O at 0° was added 4 ml. HNO3 (d. 1.52), the mixture kept 1 hr. and poured on ice to give 55% II (R = Ac, R1 = NO2, R2 = NHAc) (VI), m. 181-1.5° (EtOH). VI was reduced as previously to give 79% II (R = Ac, R1 = NH2, R2 = NHAc), m. 213° (EtOH); acetyl derivative m. 218-19° (EtOH). A mixture of 1.9 g. V and 6.5 g. SnCl2 in 50 ml. MeOH was treated on a water bath with 9 ml. 1:1 HCl, the solvent evaporated the residue treated with 100 ml. H2O and saturated with H2S. Filtration and neutralization with 2N NaOH gave 55% VII, m. 329-31° (EtOH). VII was also obtained in 45% yield by a 3-hr. reflux of II (R = Ac, R1 = R2 = NH2) in Ac2O. Ir, uv, and N.M.R. spectra are given.

L13 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:19929 HCAPLUS
DOCUMENT NUMBER: 70:19929
ORIGINAL REFERENCE NO.: 70:3719a,3722a
TITLE: 5,6-Diamino-1-acylindolines
INVENTOR(S): Terent'ev, A. P.; Vinogradova, E. V.; Chetverikov, V.

P.; Lenenko, V. S.
PATENT ASSIGNEE(S): Lomonosov, M. V., State University, Moscow
SOURCE: U.S.S.R. From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1968, 45(23), 17.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 222392		19680722	SU	19670125 <--

AB The title compds. are prepared by treatment of acylamino-1-acylindolines in Ac2O with concentrated HNO3 at ≤ 0°, followed by reduction of the resulting 5(or 6)-nitro-6(or 5)-acylamino-1-acylindolines in the presence of a Raney nickel catalyst.

L13 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:2165 HCAPLUS
DOCUMENT NUMBER: 54:2165
ORIGINAL REFERENCE NO.: 54:491i,492a-b
TITLE: Synthesis of indole derivatives substituted in the phenyl ring
AUTHOR(S): Kinoshita, Tetsuro; Inoue, Hiroo; Imoto, Eiji
CORPORATE SOURCE: Osaka Furitsu Univ.
SOURCE: Nippon Kagaku Zasshi (1957), 78, 1372-4
CODEN: NPKZAZ; ISSN: 0369-5387
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Instead of the usual Fischer method, indole derivs. were synthesized by aromatic substitution of indoline (I) followed by dehydrogenation. I was prepared in 85% yield from indole with Raney Ni. Nitration of N-benzoylindoline gave 68% N-benzoyl-5-nitroindoline (II), m. 195-6°, which hydrolyzed yielded 88% 5-nitroindoline (III), m. 92-4°. Reduction of II over PtO2 gave 80% N-benzoyl-5-aminoindoline (IV), m. 201-3°; free 5-aminoindoline m. 134-6°. IV acetylated and nitrated gave 26% N-benzoyl-6(?)-nitro-5-(N-acetylamino)indoline, m. 196-8°. Direct nitration of I afforded 51% 6-nitroindoline (VI), m. 65.5-7°; N-benzoate m. 166-7°; N-benzoyl-6-aminoindoline (VII) m. 179-81°, 50% yield from VI; N-acetate of VII m. 196-7°; N-benzoyl-4(?)-nitro-6-(N,N-diacetylamino)indoline m. 238-9°, 49% yield from VII. III and VI were converted into the corresponding indoles. Refluxing 0.5 g. VI, 0.4 g. maleic anhydride (VIII), and 0.1 g. Pd black in 50 ml. H2O 28 hrs., filtering, and cooling gave 0.2 g. 6-nitroindole, m. 138-40°. Similarly, refluxing III with VIII 8 hrs. gave 20% 5-nitroindole (IX), m. 133-6°. Refluxing 1 g. III and 0.3 g. Pd black in 13 ml. PhNO2 20 hrs. and working up gave 60% IX.

L13 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1937:53435 HCAPLUS
DOCUMENT NUMBER: 31:53435
ORIGINAL REFERENCE NO.: 31:7422c-i,7423a-d
TITLE: Nitrogen heterocycles. XXIX. Derivatives of m- and p-phenylenediamines and of 5-aminooxindole
AUTHOR(S): Ruggli, Paul; Grand, Richard
SOURCE: Helvetica Chimica Acta (1937), 20, 373-86
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. C. A. 31, 4288.1. The synthesis of benzodipyrroles by ring closure of phenylenediamines with β-CO groups takes place smoothly. The tendency to ring closure of similar N-substituted phenylenediamines has been investigated although the lack of such indole derivs. suggests the difficult nature of the reaction. A mol. mixture of 20 g. m-H2NC6H4NH2 (I) and 44 g. BrCH(CO2Et)2 (II) was triturated. After 2 days the solid was washed with 200 cc. H2O and 100. cc. of 10% HCl and dried, yielding 25 g. (65%) of crude product. Recrystn. from hot alc. gave white, felted needles of m-phenylenebis(aminomalonic ester) (III), C20H28N2O8, m. 79°. The corresponding p-compound, m. 108°, was similarly prepared Benzidine and II produced benzidinebis(malonic ester), C26H32N2O8, m. 134°. On heating at 210° for 25 min. 10 g. III was converted into a brown melt with evolution of EtOH. The cooled melt was boiled with 40 cc. Ac2O and 4 g. anhydrous NaOAc for 9 hrs. and then poured into 160 cc. H2O. Treatment of the resulting oil with 35 cc. alc. and recrystn. yielded 0.7 g. of 2,6-dicarbethoxy-3,5-diacetoxybenzodipyrrole, C20H20N2O8, m. 180°. Analogous ring closure expts. with the p-C6H4(NH2)2 and benzidine compds. gave only amorphous substances. Attempts to effect ring closure by heating 2 g. anilidomalonic ester with 2 g. PhNCO at 145° and treatment of the cooled product with benzene gave 1,3-diphenyl-2,5-diketo-4-carbethoxy-tetrahydroimidazole, C18H16N2O4, m. 134.5°. Attempts to convert p-C6H4(NHCH2CO2H)2 into the acid chloride and to effect ring closure by heating with AlCl3 gave difficultly soluble products as a result of a polymerization reaction. I (4 g.) in a mixture of 80 cc. Et2O and 20 cc. alc. was added to 7.4 g. BrCH2Bz in 25 cc. alc. The crystalline product (8.1 g.) was filtered off and washed with dilute HCl. The residue (5 g.) was suspended in 100 cc. of hot alc. and taken into solution by the addition of 20 cc. pyridine. Crystallization gave 0.8 g. of bright yellow diphenacyl-m-phenylenediamine, C22H20N2O2, m. about 164°. Similarly m-O2NC6H4NH2 and BrCH2Bz, gave phenacyl-m-nitroaniline, C14H12N2O3, m. 168°, with which no ring closure could be brought about. A mixture of 4 g. of p-H2NC6H4NH2, 4 g. Na2CO3 and 8 g. BrCH2Bz in 50 cc. alc. was heated at 55-60° for 15 min. and for a few min. at 70°. The cooled mixture was filtered and the dried residue was boiled with 25 cc. of 10% HCl in 125 cc. H2O. Recrystn. of the insol. residue gave 0.45 g. of diphenacyl-p-phenylenediamine, C22H20N2O2, m. about 151°; picrate, m. 124°; di-Ac derivative, m. 227°. Attempts at ring closure with acid media were unsuccessful. Condensation of BrCH2Bz with p-H2NC6N4NHAc yielded 82% of p-acetamidophenacylaniline, C16H18N2O2, m. 173°, which, on heating with p-H2NC6H4NHAc.HCl for 10 min. at 170-5°, gave by ring closure 5-acetamidophenylindole, C16H14N2O, m. 217°. As a cyclic acetylated m-phenylenediamine, reactions with 6-aminooxindole (IV) were studied. The reduction of 16 g. of 2,4-(O2N)2C6H3CH2CO2Et in 100 cc. alc., 100 cc. AcOEt and 20 cc. H2O in the presence of Ni gave 6 g. of Et 2,4-diaminophenylacetate (V), C10H14N2O2, m. 75°; di-Ac derivative, m. 190°; di-Bz derivative, m. 161°; picrate, m. 165-215° (decomposition). The filtered reduction solution of V from 100 g. of nitro compound was decomposed with HCl and evaporated stepwise under reduced pressure at 40-50°, yielding 78% (56 g.) of the HCl salt of IV, neutralized with NH4OH to IV, C8H8N2O, m. about 200° (decomposition); toluylsulfo derivative, C16H14N2O3S, m. 228-9°, methylated to the N,O-di-Me (VI) and N-Me derivs., C17H18N2O3S and C16H16N2O3S, m. 203° and 253° resp. Heating with 11.5 cc. of 80% H2SO4 for 20 min. at 135-50° converted 5 g. VI into a crystalline sulfate which on neutralizing with 10% NaOH gave 2 g. (74%) of N,O-dimethyl-6-aminooxindole, C10H12N2O, m. 165-6°; nitroso derivative, m. 137°, which could not be reduced to give the desired

methylhydrazine derivative Treatment of a suspension of 8.5 g. IV in 100 cc. dioxane and 60 cc. Et2O with 2.2 cc. ClCH2COCl in 20 cc. Et2O produced 2 g. of chloroacetyl-6-aminooxindole (VII), C10H9ClN2O2, which, on heating with AlCl3 in CS2 did not yield the expected double oxindole. VII was converted into the corresponding 6-acetamidooxindole, C10H10N2O2, m. above 335° (decomposition), which was nitrated to the 5-nitro derivative, C10H9N3O4, m. 250-300° (decomposition). Reduction, saponification and the action of HCO2H or AcOH failed to give a definite compound predicted on the basis of ring closure with the formation of an imidazole nucleus.

L13 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1937:13115 HCAPLUS
DOCUMENT NUMBER: 31:13115
ORIGINAL REFERENCE NO.: 31:1803e-g
TITLE: Preparation of aminoisatin and some derivatives
AUTHOR(S): Hartmann, M.; Panizzon, L.
SOURCE: Helvetica Chimica Acta (1936), 19, 1327-32
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Catalytic reduction of 5-nitroisatin in 3 vols. of EtOH at 1 atmospheric and room
temperature with Rupe's Ni catalyst followed by extraction of the residue after evaporation with 10 vols. of H2O gave 5-aminodioxindole, m. 212° (Ac derivative (I), m. 260°). I (20 g.) was added in small amts. to 68% HNO3 (200 cc.) at 0°. After 80 min. ice was added and the precipitate was recrystd. from H2O or HCO2H (II), giving a compound C10H7O5N3, m. 261°, resulting from further nitration and ring cleavage. CrO3 (14 g.) in H2O (30 cc.) was added to a suspension of I (20 g.) in 70% AcOH at 90°. The temperature rose to 100-10° and was held at 90° for 30 min. at the end. On cooling, dark red 5-acetaminoisatin (15 g.), m. 286° after recrystn. from AcOH, crystallized This on saponification with 30%
H2SO4 gave 5-aminoisatin (III), m. above 360°. Methylation of III with 40% HCHO and II gave 5-dimethylaminoisatin, m. 215° (methiodide, m. 247-9° (decomposition); methochloride, m. 250° (decomposition)). Diazotization of III and decomposition as usual gave 5-hydroxyisatin, m. above 360°.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	111.46	648.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-20.28	-21.06

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